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Patentanmeldung Nr. Patent application No. Demande de brevet n°

04356029.1

Der Präsident des Europäischen Patentamts;  
Im Auftrag

For the President of the European Patent Office

Le Président de l'Office européen des brevets  
p.o.

R C van Dijk





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If no title is shown please refer to the description.  
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New 2-pyridinylethylcarboxamide derivatives

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### New 2-pyridinylethylcarboxamide derivatives

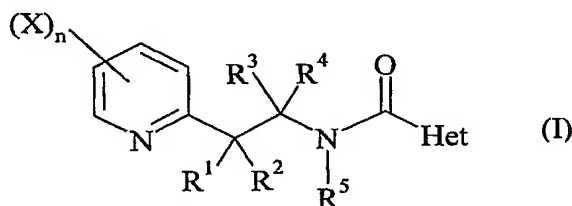
The present invention relates to novel N-[2-(2-pyridinyl)ethyl]carboxamides  
 5 derivatives, their process of preparation, their use as fungicides, particularly in the  
 form of fungicidal compositions, and methods for the control of phytopathogenic  
 fungi of plants using these compounds or their compositions.

The international patent application WO 01/11965 discloses a broad family of  
 fungicidal compounds in which the 2-pyridyl group is substituted by at least one  
 10 halogenoalkyl group.

It is always of high-interest in the agriculture field to use novel pesticidal  
 compounds in order to avoid or to fight the development of resistant strains to the  
 active ingredients used by the farmer.

We have now found a new family of compounds which possess the above  
 15 mentioned characteristics.

Accordingly, the present invention relates to N-[2-(2-  
 pyridinyl)ethyl]carboxamide derivative of general formula (I) :



20 in which :

- n is 1, 2, 3 or 4;

- X is the same or different and is a hydrogen atom, a halogen atom, a nitro  
 group, a cyano group, a hydroxy group, an amino group, a sulfanyl group, a  
 pentafluoro- $\lambda^6$ -sulfanyl group, a formyl group, a formyloxy group, a formylamino  
 25 group, a carboxy group, a carbamoyl group, a N-hydroxycarbamoyl group, a  
 carbamate group, a (hydroxyimino)-C<sub>1</sub>-C<sub>6</sub>-alkyl group, a C<sub>1</sub>-C<sub>8</sub>-alkyl, a C<sub>2</sub>-C<sub>8</sub>-  
 alkenyl, a C<sub>2</sub>-C<sub>8</sub>-alkynyl, a C<sub>1</sub>-C<sub>8</sub>-alkylamino, a di-C<sub>1</sub>-C<sub>8</sub>-alkylamino, a C<sub>1</sub>-C<sub>8</sub>-  
 alkoxy, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkoxy having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylsulfanyl,  
 a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylsulfanyl having 1 to 5 halogen atoms, a C<sub>2</sub>-C<sub>8</sub>-alkenyloxy, a  
 30 C<sub>2</sub>-C<sub>8</sub>-halogenoalkenyloxy having 1 to 5 halogen atoms, a C<sub>3</sub>-C<sub>8</sub>-alkynyloxy, a C<sub>3</sub>-  
 C<sub>8</sub>-halogenoalkynyloxy having 1 to 5 halogen atoms, a C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, a C<sub>3</sub>-C<sub>8</sub>-  
 halogenocycloalkyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylcarbonyl, a C<sub>1</sub>-C<sub>8</sub>-

- halogenoalkylcarbonyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylcarbamoyl, a di-C<sub>1</sub>-C<sub>8</sub>-alkylcarbamoyl, a N-C<sub>1</sub>-C<sub>8</sub>-alkyloxy carbamoyl, a C<sub>1</sub>-C<sub>8</sub>-alkoxy carbamoyl, a N-C<sub>1</sub>-C<sub>8</sub>-alkyl-C<sub>1</sub>-C<sub>8</sub>-alkoxy carbamoyl, a C<sub>1</sub>-C<sub>8</sub>-alkoxy carbonyl, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkoxy carbonyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylcarbonyloxy, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylcarbonylamino, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylcarbonylamino having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylaminocarbonyloxy, a di-C<sub>1</sub>-C<sub>8</sub>-alkylaminocarbonyloxy, a C<sub>1</sub>-C<sub>8</sub>-alkyloxy carbonyloxy, a C<sub>1</sub>-C<sub>8</sub>-alkylsulphenyl, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylsulphenyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylsulphinyl, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylsulphinyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylsulphonyl, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylsulphonyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>6</sub>-alkoxyimino, a (C<sub>1</sub>-C<sub>6</sub>-alkoxyimino)-C<sub>1</sub>-C<sub>6</sub>-alkyl, a (C<sub>1</sub>-C<sub>6</sub>-alkenyloxyimino)-C<sub>1</sub>-C<sub>6</sub>-alkyl, a (C<sub>1</sub>-C<sub>6</sub>-alkynyloxyimino)-C<sub>1</sub>-C<sub>6</sub>-alkyl, a (benzyloxyimino)-C<sub>1</sub>-C<sub>6</sub>-alkyl, a benzyloxy, a benzylsulfanyl, a benzylamino, a phenoxy, a phenylsulfanyl or a phenylamino;
- R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are the same or different and are a hydrogen atom, a halogen atom, a cyano group, a hydroxy group, an amino group, a sulfanyl group, a formyl group, a formyloxy group, a formylamino group, a carboxy group, a carbamoyl group, a N-hydroxycarbamoyl group, a carbamate group, a (hydroxyimino)-C<sub>1</sub>-C<sub>6</sub>-alkyl group, a C<sub>1</sub>-C<sub>8</sub>-alkyl, a C<sub>2</sub>-C<sub>8</sub>-alkenyl, a C<sub>2</sub>-C<sub>8</sub>-alkynyl, a C<sub>1</sub>-C<sub>8</sub>-alkylamino, a di-C<sub>1</sub>-C<sub>8</sub>-alkylamino, a C<sub>1</sub>-C<sub>8</sub>-alkoxy, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkoxy having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylsulfanyl, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylsulfanyl having 1 to 5 halogen atoms, a C<sub>2</sub>-C<sub>8</sub>-alkenyloxy, a C<sub>2</sub>-C<sub>8</sub>-halogenoalkenyloxy having 1 to 5 halogen atoms, a C<sub>3</sub>-C<sub>8</sub>-alkynyloxy, a C<sub>3</sub>-C<sub>8</sub>-halogenoalkynyloxy having 1 to 5 halogen atoms, a C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, a C<sub>3</sub>-C<sub>8</sub>-halogenocycloalkyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylcarbonyl, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylcarbonyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylcarbamoyl, a di-C<sub>1</sub>-C<sub>8</sub>-alkylcarbamoyl, a N-C<sub>1</sub>-C<sub>8</sub>-alkyloxy carbamoyl, a C<sub>1</sub>-C<sub>8</sub>-alkoxy carbamoyl, a N-C<sub>1</sub>-C<sub>8</sub>-alkyl-C<sub>1</sub>-C<sub>8</sub>-alkoxy carbamoyl, a C<sub>1</sub>-C<sub>8</sub>-alkoxy carbonyl, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkoxy carbonyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylcarbonyloxy, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylcarbonylamino, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylcarbonylamino having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylaminocarbonyloxy, a di-C<sub>1</sub>-C<sub>8</sub>-alkylaminocarbonyloxy, a C<sub>1</sub>-C<sub>8</sub>-alkyloxy carbonyloxy, a C<sub>1</sub>-C<sub>8</sub>-alkylsulphenyl, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylsulphenyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylsulphinyl, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylsulphinyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylsulphonyl, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylsulphonyl having 1 to 5 halogen atoms, a benzyloxy, a benzylsulfanyl, a

benzylamino, a phenoxy, a phenylsulfanyl or a phenylamino, a phenyl group, a phenyl sulphanyl group;

with the proviso that when three of the four substituents  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are a hydrogen atom, then the fourth substituent is not a hydrogen atom;

5 -  $R^5$  is a hydrogen atom, a cyano group, a formyl group, a hydroxy group, a  $C_1$ - $C_6$ -alkyl, a  $C_1$ - $C_6$ -halogenoalkyl having 1 to 5 halogen atoms, a  $C_1$ - $C_6$ -alkoxy, a  $C_1$ - $C_6$ -halogenoalkoxy having 1 to 5 halogen atoms, a  $C_3$ - $C_6$ -cycloalkyl, a  $C_3$ - $C_6$ -halogenocycloalkyl having 1 to 5 halogen atoms, a  $C_2$ - $C_6$ -alkenyl, a  $C_2$ - $C_6$ -alkynyl, a  $C_1$ - $C_6$ -alkoxy- $C_1$ - $C_6$ -alkyl, a  $C_1$ - $C_6$ -cyanoalkyl, a  $C_1$ - $C_6$ -aminoalkyl, a  $C_1$ - $C_6$ -alkylamino- $C_1$ - $C_6$ -alkyl, a di- $C_1$ - $C_6$ -alkylamino- $C_1$ - $C_6$ -alkyl, a  $C_1$ - $C_6$ -alkylcarbonyl, 10 a  $C_1$ - $C_6$ -halogenalkylcarbonyl having 1 to 5 halogen atoms, a  $C_1$ - $C_6$ -alkyloxycarbonyl, a  $C_1$ - $C_6$ -benzyloxycarbonyl, a  $C_1$ - $C_6$ -alkoxy- $C_1$ - $C_6$ -alkylcarbonyl, a  $C_1$ - $C_6$ -alkylsulfonyl or a  $C_1$ - $C_6$ -halogenoalkylsulfonyl having 1 to 5 halogen atoms;

15 - Het represents 5-, 6- or 7-membered heterocycle with one, two or three heteroatoms which may be the same or different; Het being linked by a carbon atom and being at least substituted in ortho position;

as well as its salts, N-oxydes, metallic and metalloidic complexes.

In the context of the present invention :

20 - halogen means fluorine, bromine, chlorine or iodine;  
 - heteroatom means N, O or S;  
 - carboxy means  $-C(=O)OH$  ;  
 - carbonyl means  $-C(=O)-$  ;  
 - carbamoyl means  $-C(=O)NH_2$  ;  
 25 - N-hydroxycarbamoyl means  $-C(=O)NHOH$  ;  
 - an alkyl group, an alkenyl group, and an alkynyl group as well as moieties containing these terms, can be linear or branched.

30 In the context of the present invention, it has also to be understood that in the case of di-substituted amino and of di-substituted carbamoyl radicals, the two substituents may form, together with the nitrogen atom bearing them, a saturated heterocyclic ring containing 3 to 7 atoms.

According to the present invention, the 2-pyridyl may be substituted in any position by  $(X)_n$ , in which X and n are as defined above. Preferably, the present 35 invention relates to N-[2-(2-pyridinyl)ethyl]carboxamide derivative of general



formula (I) in which the different characteristics may be chosen alone or in combination as being :

- as regards n, n is 1, 2 or 3. More preferably n is 2 or 3.
- as regards X, at least one of the X substituent is a halogen atom, a C<sub>1</sub>-C<sub>8</sub>-alkyl, a C<sub>1</sub>-C<sub>6</sub>-alkoxyimino, a (C<sub>1</sub>-C<sub>6</sub>-alkoxyimino)-C<sub>1</sub>-C<sub>6</sub>-alkyl, or a C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl.
- as regards the positions in which the 2-pyridyl is substituted, the 2-pyridyl is substituted in 3-, 5- and/or in 6-position. More preferably, the 2-pyridyl is substituted in 3- and/or in 5-position

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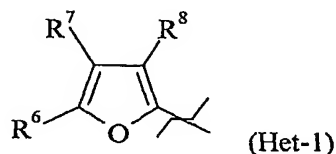
According to the present invention, the two carbon atoms and the nitrogen atom of the "ethylamide part" of the compound of formula (I) are respectively substituted by R<sup>1</sup> and R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup>, and R<sup>5</sup>, at least one the substituents R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> being different from hydrogen. Preferably, the present invention also relates to N-[2-(2-pyridinyl)ethyl]carboxamide derivative of general formula (I) in which the following characteristics may be chosen alone or in combination as being :

- as regards R<sup>1</sup> and R<sup>2</sup>, R<sup>1</sup> and R<sup>2</sup> may be chosen, independently of each other, as being a hydrogen atom, a halogen atom, a cyano group, a hydroxy group, a C<sub>1</sub>-C<sub>6</sub>-alkyl, a C<sub>1</sub>-C<sub>6</sub>-halogenoalkyl having 1 to 5 halogen atoms, a C<sub>2</sub>-C<sub>6</sub>-alkenyl, a C<sub>1</sub>-C<sub>6</sub>-alkoxy, a C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, a C<sub>1</sub>-C<sub>6</sub>-alkylsulfenyl, a C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, a C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, a C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, a C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyloxy, a C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonylamino or a phenyl group. More preferably, R<sup>1</sup> and R<sup>2</sup> may be chosen, independently of each other, as being a halogen atom, a C<sub>1</sub>-C<sub>6</sub>-alkyl, a C<sub>1</sub>-C<sub>6</sub>-halogenoalkyl having 1 to 5 halogen atoms or a C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino.
- as regards R<sup>3</sup> and R<sup>4</sup>, R<sup>3</sup> and R<sup>4</sup> may be chosen, independently of each other, as being a hydrogen atom, a halogen atom, a cyano group, a C<sub>1</sub>-C<sub>6</sub>-alkyl, a C<sub>1</sub>-C<sub>6</sub>-halogenoalkyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino or a phenyl group. More preferably, R<sup>3</sup> and R<sup>4</sup> may be chosen, independently of each other, as being a halogen atom, a C<sub>1</sub>-C<sub>6</sub>-alkyl, a C<sub>1</sub>-C<sub>6</sub>-halogenoalkyl having 1 to 5 halogen atoms or a phenyl group.
- as regards R<sup>5</sup>, R<sup>5</sup> may be chosen as being a hydrogen atom or a C<sub>3</sub>-C<sub>7</sub>-cycloalkyl.

According to the present invention, "Het" of the compound of general formula (I) may be a five membered ring heterocycle. Specific examples of compounds of the present invention where Het is a five membered heterocycle include :

35

\* Het may represent a heterocycle of the general formula (Het-1)

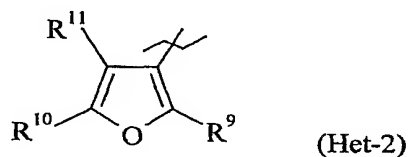


in which :

- R<sup>6</sup> and R<sup>7</sup> may be the same or different and may be a hydrogen atom, a halogen atom, an amino group, a nitro group, a C<sub>1</sub>-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms; and

- R<sup>8</sup> may be a halogen atom, a nitro group, a C<sub>1</sub>-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms.

\* Het may represent a heterocycle of the general formula (Het-2)



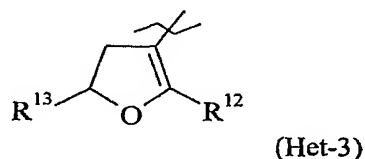
in which :

- R<sup>9</sup> may be a hydrogen atom, a halogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms; and

- R<sup>10</sup> and R<sup>11</sup> may be the same or different and may be a hydrogen atom, a halogen atom, an amino group, a C<sub>1</sub>-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms;

provided that the R<sup>9</sup> and R<sup>11</sup> are not both a hydrogen atom.

\* Het may represent a heterocycle of the general formula (Het-3)

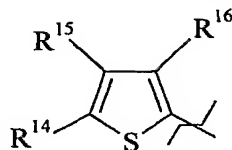


in which :

- R<sup>12</sup> may be a halogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms; and

- R<sup>13</sup> may be a hydrogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms.

\* Het may represent a heterocycle of the general formula (Het-4)



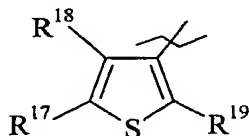
(Het-4)

in which :

-  $R^{14}$  and  $R^{15}$  may be the same or different and may be a hydrogen atom, a halogen atom, a  $C_1$ - $C_4$ -alkyl, a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms, a  $C_1$ - $C_4$ -alkylthio, a  $C_1$ - $C_4$ -alkylsulphonyl, a phenyl optionally substituted by a halogen atom or a  $C_1$ - $C_4$ -alkyl or a pyridyl optionally substituted by a halogen atom or a  $C_1$ - $C_4$ -alkyl; and

-  $R^{16}$  may be a halogen atom, a cyano group, a  $C_1$ - $C_4$ -alkyl, a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms or a  $C_1$ - $C_4$ -halogenoalkoxy having 1 to 5 halogen atoms.

\* Het may represent a heterocycle of the general formula (Het-5)



(Het-5)

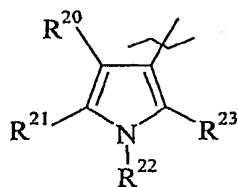
in which :

-  $R^{17}$  and  $R^{18}$  may be the same or different and may be a hydrogen atom, a halogen atom, a  $C_1$ - $C_4$ -alkyl, a  $C_1$ - $C_4$ -alkyloxy or a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms; and

-  $R^{19}$  may be a hydrogen atom, a halogen atom, a  $C_1$ - $C_4$ -alkyl or a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms;

provided that the  $R^{18}$  and  $R^{19}$  are not both a hydrogen atom.

\* Het may represent a heterocycle of the general formula (Het-6)



(Het-6)

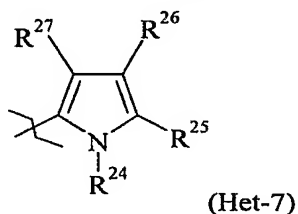
in which :

-  $R^{20}$  may be a hydrogen atom, a halogen atom, a cyano group, a  $C_1$ - $C_4$ -alkyl or a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms;

-  $R^{21}$  and  $R^{23}$  may be the same or different and may be a hydrogen atom, a halogen atom, a  $C_1$ - $C_4$ -alkyl or a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms; and

-  $R^{22}$  may be a hydrogen atom, a cyano group, a  $C_1$ - $C_4$ -alkyl, a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms, a  $C_1$ - $C_4$ -alkoxy- $C_1$ - $C_4$ -alkyl, a hydroxy- $C_1$ - $C_4$ -alkyl, a  $C_1$ - $C_4$ -alkylsulphonyl, a di( $C_1$ - $C_4$ -alkyl)aminosulphonyl, a  $C_1$ - $C_6$ -alkylcarbonyl, a phenylsulphonyl optionally substituted by a halogen atom or a  $C_1$ - $C_4$ -alkyl, or a benzoyl optionally substituted by a halogen atom or a  $C_1$ - $C_4$ -alkyl; provided that the  $R^{20}$  and  $R^{23}$  are not both a hydrogen atom.

\* Het may represent a heterocycle of the general formula (Het-7)



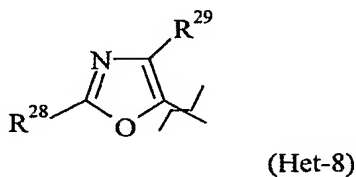
in which :

-  $R^{24}$  may be a hydrogen atom, a cyano group, a  $C_1$ - $C_4$ -alkyl, a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms, a  $C_1$ - $C_4$ -alkoxy- $C_1$ - $C_4$ -alkyl, a hydroxy- $C_1$ - $C_4$ -alkyl, a  $C_1$ - $C_4$ -alkylsulphonyl, a di( $C_1$ - $C_4$ -alkyl)aminosulphonyl, a  $C_1$ - $C_6$ -alkylcarbonyl, a phenylsulphonyl optionally substituted by a halogen atom or a  $C_1$ - $C_4$ -alkyl, or a benzoyl optionally substituted by a halogen atom or a  $C_1$ - $C_4$ -alkyl; and

-  $R^{25}$ ,  $R^{26}$  and  $R^{27}$  may be the same or different and may be a hydrogen atom, a halogen atom, a cyano group, a  $C_1$ - $C_4$ -alkyl, a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms or a  $C_1$ - $C_4$ -alkylcarbonyl;

provided that  $R^{24}$  and  $R^{27}$  are not both a hydrogen atom.

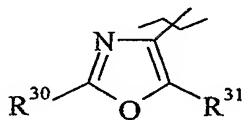
\* Het may represent a heterocycle of the general formula (Het-8)



in which :

- R<sup>28</sup> may be a hydrogen atom or a C<sub>1</sub>-C<sub>4</sub>-alkyl; and
- R<sup>29</sup> may be a halogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms.

5 \* Het may represent a heterocycle of the general formula (Het-9)



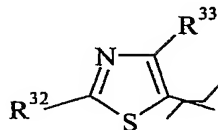
(Het-9)

10 in which :

- R<sup>30</sup> may be a hydrogen atom or a C<sub>1</sub>-C<sub>4</sub>-alkyl; and
- R<sup>31</sup> may be a halogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms or a phenyl optionally substituted by a halogen atom or a C<sub>1</sub>-C<sub>4</sub>-alkyl.

15

\* Het may represent a heterocycle of the general formula (Het-10)



(Het-10)

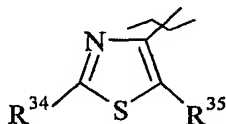
20 in which :

- R<sup>32</sup> may be a hydrogen atom, a halogen atom, an amino group, a cyano group, a C<sub>1</sub>-C<sub>4</sub>-alkylamino, a di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, a C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms or a phenyl optionally substituted by a halogen atom or a C<sub>1</sub>-C<sub>4</sub>-alkyl; and
- R<sup>33</sup> may be a halogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms.

25

\* Het may represent a heterocycle of the general formula (Het-11)

30



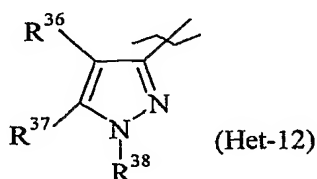
(Het-11)

in which :

-  $R^{34}$  may be a hydrogen atom, a halogen atom, an amino group, a cyano group, a  $C_1$ - $C_4$ -alkylamino, a di- $(C_1$ - $C_4$ -alkyl)amino, a  $C_1$ - $C_4$ -alkyl or a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms; and

-  $R^{35}$  may be a halogen atom, a  $C_1$ - $C_4$ -alkyl or a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms.

\* Het may represent a heterocycle of the general formula (Het-12)



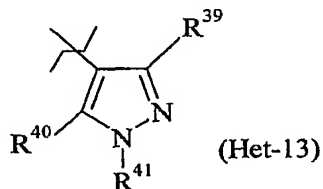
in which :

-  $R^{36}$  may be a halogen atom, a cyano group, a nitro group, a  $C_1$ - $C_4$ -alkyl, a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms, a  $C_3$ - $C_6$ -cycloalkyl, a  $C_1$ - $C_4$ -alkoxy, a  $C_1$ - $C_4$ -halogenoalkoxy having 1 to 5 halogen atoms, a  $C_1$ - $C_4$ -alkylthio, a  $C_1$ - $C_4$ -halogenoalkylthio having 1 to 5 halogen atoms, an aminocarbonyl group or an aminocarbonyl- $C_1$ - $C_4$ -alkyl;

-  $R^{37}$  may be a hydrogen atom, a halogen atom, a cyano group, a nitro group, a  $C_1$ - $C_4$ -alkyl, a  $C_1$ - $C_4$ -alkoxy or a  $C_1$ - $C_4$ -alkylthio; and

-  $R^{38}$  may be a hydrogen atom, a phenyl, a  $C_1$ - $C_4$ -alkyl, a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms, a hydroxy- $C_1$ - $C_4$ -alkyl, a  $C_2$ - $C_6$ -alkenyl, a  $C_3$ - $C_6$ -cycloalkyl, a  $C_1$ - $C_4$ -alkylthio- $C_1$ - $C_4$ -alkyl, a  $C_1$ - $C_4$ -halogenoalkylthio- $C_1$ - $C_4$ -alkyl having 1 to 5 halogen atoms, a  $C_1$ - $C_4$ -alkoxy- $C_1$ - $C_4$ -alkyl or a  $C_1$ - $C_4$ -halogenoalkoxy- $C_1$ - $C_4$ -alkyl having 1 to 5 halogen atoms.

\* Het may represent a heterocycle of the general formula (Het-13)



in which :

-  $R^{39}$  may be a hydrogen atom, a halogen atom, a cyano group, a nitro group, a  $C_1$ - $C_4$ -alkyl, a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms, a  $C_3$ - $C_6$ -cycloalkyl, a  $C_1$ - $C_4$ -alkoxy, a  $C_1$ - $C_4$ -halogenoalkoxy having 1 to 5 halogen atoms, a

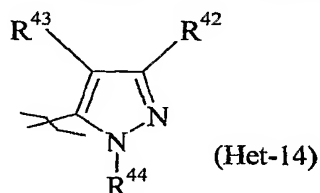
C<sub>1</sub>-C<sub>4</sub>-alkylthio, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkylthio having 1 to 5 halogen atoms, an aminocarbonyl or an aminocarbonyl-C<sub>1</sub>-C<sub>4</sub>-alkyl;

- R<sup>40</sup> may be a hydrogen atom, a halogen atom, a cyano group, a C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-alkoxy, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkoxy having 1 to 5 halogen atoms or a C<sub>1</sub>-C<sub>4</sub>-alkylthio; and

- R<sup>41</sup> may be a hydrogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms, a hydroxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>2</sub>-C<sub>6</sub>-alkenyl, a C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, a C<sub>1</sub>-C<sub>4</sub>-alkylthio-C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkylthio-C<sub>1</sub>-C<sub>4</sub>-alkyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl having 1 to 5 halogen atoms or a phenyl optionally substituted by a halogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-alkoxyalkyl or a nitro group;

provided that the R<sup>39</sup> and R<sup>40</sup> are not both a hydrogen atom.

\* Het may represent a heterocycle of the general formula (Het-14)



in which :

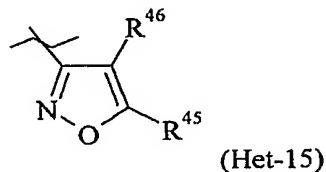
- R<sup>42</sup> may be a hydrogen atom, a halogen atom, a cyano group, a nitro group, a C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms, a C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, a C<sub>1</sub>-C<sub>4</sub>-alkoxy, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkoxy having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>4</sub>-alkylthio, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkylthio having 1 to 5 halogen atoms, an aminocarbonyl, or an aminocarbonyl-C<sub>1</sub>-C<sub>4</sub>-alkyl;

- R<sup>43</sup> may be a hydrogen atom, a halogen atom, a cyano group, a C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-alkoxy, a C<sub>1</sub>-C<sub>4</sub>-alkylthio or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms;

- R<sup>44</sup> may be a hydrogen atom, a phenyl, a benzyl, a C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms, a hydroxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>2</sub>-C<sub>6</sub>-alkenyl, a C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, a C<sub>1</sub>-C<sub>4</sub>-alkylthio-C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkylthio-C<sub>1</sub>-C<sub>4</sub>-alkyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl having 1 to 5 halogen atoms;

provided that R<sup>43</sup> and R<sup>44</sup> are not both a hydrogen atom.

\* Het may represent a heterocycle of the general formula (Het-15)

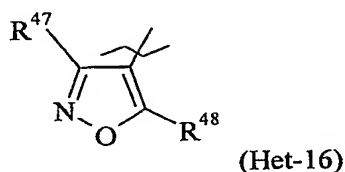


in which :

-  $R^{45}$  may be a hydrogen atom, a halogen atom, a C-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms; and

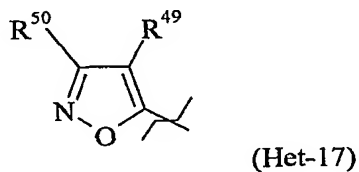
-  $R^{46}$  may be a halogen atom, a C-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms.

\* Het may represent a heterocycle of the general formula (Het-16)



20 in which  $R^{47}$  and  $R^{48}$  may be the same or different and may be a hydrogen atom, a halogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms, a phenyl optionally substituted by a halogen atom or a C<sub>1</sub>-C<sub>4</sub>-alkyl, or a heterocyclyl optionally substituted by a halogen atom or a C<sub>1</sub>-C<sub>4</sub>-alkyl; provided that  $R^{47}$  and  $R^{48}$  are not both a hydrogen atom.

25 \* Het may represent a heterocycle of the general formula (Het-17)



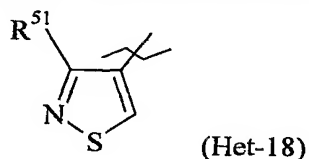
in which

-  $R^{49}$  may be a halogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms. and

35 -  $R^{50}$  may be a halogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms.

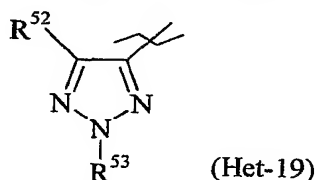


\* Het may represent a heterocycle of the general formula (Het-18)



in which R<sup>51</sup> may be a halogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms.

\* Het may represent a heterocycle of the general formula (Het-19)



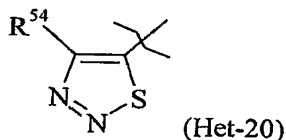
15 in which :

- R<sup>52</sup> may be a halogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms; and

- R<sup>53</sup> may be a hydrogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms, or a phenyl optionally substituted by a halogen atom or a C<sub>1</sub>-C<sub>4</sub>-alkyl.

20

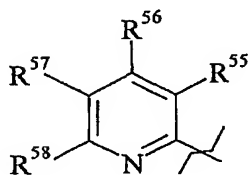
\* Het may represent a heterocycle of the general formula (Het-20)



in which R<sup>54</sup> may be a halogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms.

30 According to the present invention, "Het" of the compound of general formula (I) may be a six membered ring heterocycle. Specific examples of compounds of the present invention where Het is a six membered heterocycle include :

\* Het may represent a heterocycle of the general formula (Het-21)



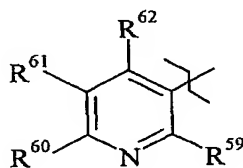
(Het-21)

in which :

-  $R^{55}$  may be a halogen atom, a hydroxy group, a cyano group, a  $C_1$ - $C_4$ -alkyl, a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms, a  $C_1$ - $C_4$ -alkoxy, a  $C_1$ - $C_4$ -alkylthio, a  $C_1$ - $C_4$ -halogenoalkylthio having 1 to 5 halogen atoms or a  $C_1$ - $C_4$ -halogenoalkoxy having 1 to 5 halogen atoms;

-  $R^{56}$ ,  $R^{57}$  and  $R^{58}$ , which may be the same or different, may be a hydrogen atom, a halogen atom, a cyano group, a  $C_1$ - $C_4$ -alkyl, a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms, a  $C_1$ - $C_4$ -alkoxy, a  $C_1$ - $C_4$ -alkylthio, a  $C_1$ - $C_4$ -halogenoalkoxy having 1 to 5 halogen atoms, a  $C_1$ - $C_4$ -alkylsulphinyl or a  $C_1$ - $C_4$ -alkylsulphonyl.

\* Het may represent a heterocycle of the general formula (Het-22)



(Het-22)

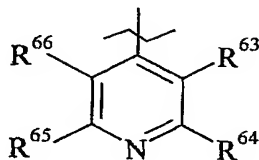
in which :

-  $R^{59}$  may be a hydrogen atom, a halogen atom, a hydroxy group, a cyano group, a  $C_1$ - $C_4$ -alkyl, a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms, a  $C_1$ - $C_4$ -alkoxy, a  $C_1$ - $C_5$ -alkylthio, a  $C_2$ - $C_5$ -alkenylthio a  $C_1$ - $C_4$ -halogenoalkylthio having 1 to 5 halogen atoms, a  $C_1$ - $C_4$ -halogenoalkoxy having 1 to 5 halogen atoms, a phenyloxy optionally substituted by a halogen atom or a  $C_1$ - $C_4$ -alkyl, or a phenylthio optionally substituted by a halogen atom or a  $C_1$ - $C_4$ -alkyl;

-  $R^{60}$ ,  $R^{61}$  and  $R^{62}$ , which may be the same or different, may be a hydrogen atom, a halogen atom, a cyano group, a  $C_1$ - $C_4$ -alkyl, a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms, a  $C_1$ - $C_4$ -alkoxy, a  $C_1$ - $C_4$ -alkylthio, a  $C_1$ - $C_4$ -halogenoalkoxy having 1 to 5 halogen atoms, a  $C_1$ - $C_4$ -alkylsulphinyl, a  $C_1$ - $C_4$ -alkylsulphonyl or a N-morpholine optionally substituted by a halogen atom or a  $C_1$ - $C_4$ -alkyl, or a thienyl optionally substituted by a halogen atom or a  $C_1$ - $C_4$ -alkyl;

provided that the  $R^{59}$  and  $R^{62}$  are not both a hydrogen atom.

\* Het may represent a heterocycle of the general formula (Het-23)

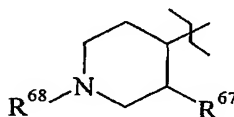


(Het-23)

in which R<sup>63</sup>, R<sup>64</sup>, R<sup>65</sup> and R<sup>66</sup>, which may be the same or different, may be a hydrogen atom, a halogen atom, a hydroxy group, a cyano group, a C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>4</sub>-alkoxy, a C<sub>1</sub>-C<sub>4</sub>-alkylthio, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkylthio having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkoxy having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl or a C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl;

provided that the R<sup>63</sup> and R<sup>66</sup> are not both a hydrogen atom.

\* Het may represent a heterocycle of the general formula (Het-24)



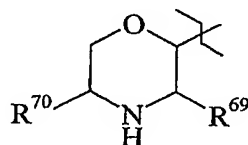
(Het-24)

in which :

- R<sup>67</sup> may be a halogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms;

- R<sup>68</sup> may be a hydrogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, a benzyl optionally substituted by 1 to 3 halogen atoms, a benzyloxycarbonyl optionally substituted by 1 to 3 halogen atoms or a heterocycl.

\* Het may represent a heterocycle of the general formula (Het-25)



(Het-25)

in which :

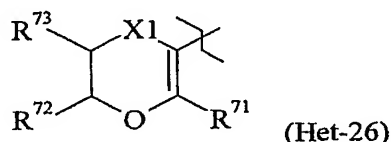
- R<sup>69</sup> may be a halogen atom, a hydroxy group, a cyano group, a C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>4</sub>-alkoxy, a C<sub>1</sub>-C<sub>4</sub>-

alkylthio, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkylthio having 1 to 5 halogen atoms or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkoxy having 1 to 5 halogen atoms;

- R<sup>70</sup> may be a hydrogen atom, a C<sub>1</sub>-C<sub>4</sub>-alkyl, a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms or a benzyl.

5

\* Het may represent a heterocycle of the general formula (Het-26)



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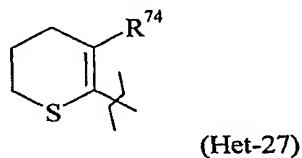
in which :

- X<sup>1</sup> may be a sulphur atom, -SO-, -SO<sub>2</sub>- or -CH<sub>2</sub>-;

- R<sup>71</sup> may be a C<sub>1</sub>-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms; and

15 - R<sup>72</sup> and R<sup>73</sup> may be the same or different and may be a hydrogen atom or a C<sub>1</sub>-C<sub>4</sub>-alkyl.

\* Het may represent a heterocycle of the general formula (Het-27)

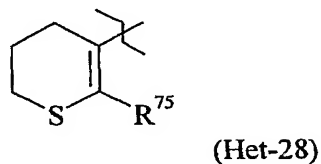


20

in which :

25 - R<sup>74</sup> may be a C<sub>1</sub>-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms;

\* Het may represent a heterocycle of the general formula (Het-28)



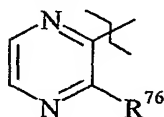
30

in which :

- R<sup>75</sup> may be a C<sub>1</sub>-C<sub>4</sub>-alkyl or a C<sub>1</sub>-C<sub>4</sub>-halogenoalkyl having 1 to 5 halogen atoms.

35

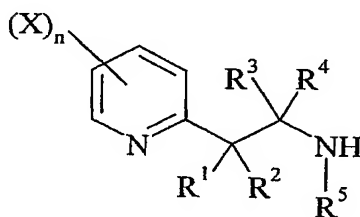
\* Het may represent a heterocycle of the general formula (Het-29)



(Het-29)

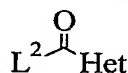
in which  $R^{76}$  may be a halogen atom, a  $C_1$ - $C_4$ -alkyl or a  $C_1$ - $C_4$ -halogenoalkyl having 1 to 5 halogen atoms.

The present invention also relates to a process for the preparation of the compound of general formula (I). Thus, according to a further aspect of the present invention there is provided a process for the preparation of compound of general formula (I) as defined above, which comprises reacting a 2-pyridine derivative of general formula (II) or one of its salt :



(II)

in which X, n,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $R^5$  are as defined above;  
with a carboxylic acid derivative of the general formula (III)



(III)

in which :

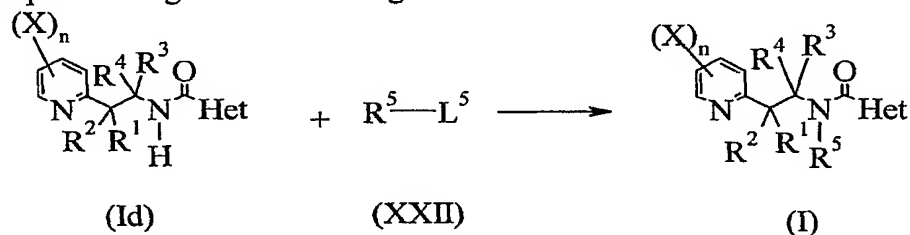
- Het is as defined above; and
- $L^2$  is a leaving group chosen as being a halogen atom, a hydroxyl group,  $-OR^6$ ,  $-OCOR^6$ ,  $R^6$  being a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a benzyl, 4-methoxybenzyl, pentafluorophenyl or a group of formula ;

in the presence of a catalyst and, if  $L^2$  is a hydroxyl group, in the presence of a condensing agent.

The process according to the present invention is conducted in the presence of a catalyst. Suitable catalyst may be chosen as being 4-dimethyl-aminopyridine, 1-hydroxy-benzotriazole or dimethylformamide.

In case  $L^2$  is a hydroxy group, the process according to the present invention is conducted in the presence of condensing agent. Suitable condensing agent may be chosen as being acid halide former, such as phosgene, phosphorous tribromide, phosphorous trichloride, phosphorous pentachloride, phosphorous trichloride oxide or thionyl chloride; anhydride former, such as ethyl chloroformate, methyl chloroformate, isopropyl chloroformate, isobutyl chloroformate or methanesulfonyl-chloride; carbodiimides, such as  $N,N'$ -dicyclohexylcarbodiimide (DCC) or other customary condensing agents, such as phosphorous pentoxide, polyphosphoric acid,  $N,N'$ -carbonyl-diimidazole, 2-ethoxy- $N$ -ethoxycarbonyl-1,2-dihydroquinoline (EEDQ), triphenylphosphine/tetrachloromethane, 4-(4,6-dimethoxy[1.3.5]triazin-2-yl)-4-methylmorpholinium chloride hydrate or bromo-tripyrrolidino-phosphonium-hexafluorophosphate.

When  $R^5$  is a hydrogen atom, the above mentioned process for the preparation of compound of general formula (I) may optionally be completed by a further step according to the following reaction scheme :



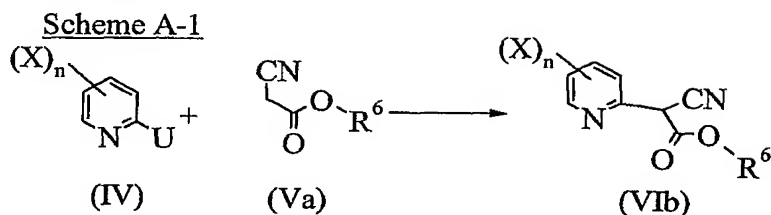
in which : -  $R^1, R^2, R^3, R^4, R^5, X, n$  and Het are as defined above;  
 -  $L^5$  is a leaving group chosen as being a halogen atom, a 4-methyl phenylsulfonyloxy or a methylsulfonyloxy;  
 comprising the reaction of a compound of general formula (Id) with a compound of general formula (XXII) to provide a compound of general formula (I).

Depending on the definition of  $R^1, R^2, R^3, R^4$  or  $R^5$ , amine derivatives of general formula (II) may be prepared by different processes. One example (A) of such a process may be when :

- $X, n$  are as defined above;
- $R^1$  is a  $C_1$ - $C_6$  alkyl;
- $R^2$  is a hydrogen atom or a  $C_1$ - $C_6$  alkyl; and
- $R^3, R^4, R^5$  are hydrogen atoms;

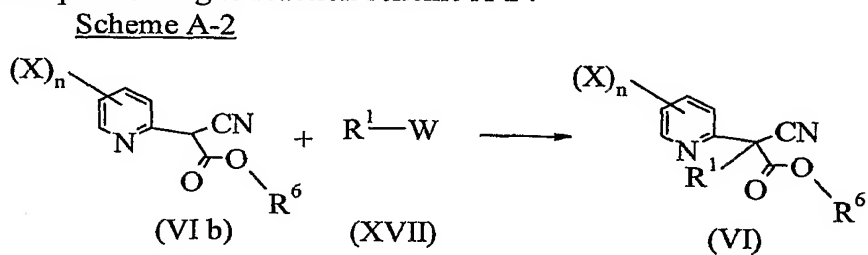
then, the amine derivative of general formula (II) may be prepared according to a process which comprises :

- a first step according to reaction scheme A-1 :



- 5            in which :    - X and n are as defined above;  
                               - R<sup>6</sup> is a C<sub>1</sub>-C<sub>6</sub> alkyl, a C<sub>1</sub>-C<sub>6</sub> haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;  
                               - U is a leaving group chosen as being a halogen, a C<sub>1</sub>-C<sub>6</sub> alkylsulfonate or a C<sub>1</sub>-C<sub>6</sub> haloalkylsulfonate;
- 10   comprising the arylation of a cyanoacetate derivative of general formula (Va) by a pyridine derivative of general formula (IV), to provide a 2-(pyridyl)cyanoacetate derivative of general formula (VI b), in the presence of a base, at a temperature of from 0°C to 200°C;

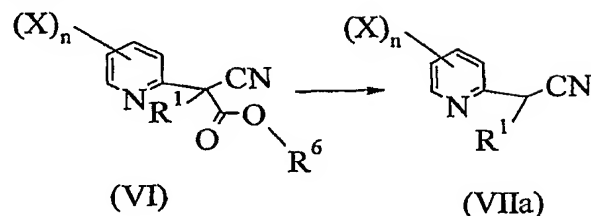
- a second step according to reaction scheme A-2 :



- 15            in which :    - X, n are as defined above;  
                               - R<sup>1</sup> is a C<sub>1</sub>-C<sub>6</sub> alkyl;  
                               - R<sup>6</sup> is a C<sub>1</sub>-C<sub>6</sub> alkyl, a C<sub>1</sub>-C<sub>6</sub> haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;
- 20            - W is a halogen atom, a C<sub>1</sub>-C<sub>6</sub> alkylsulfonate, a C<sub>1</sub>-C<sub>6</sub> haloalkylsulfonate or a 4-methyl-phenylsulfonate;  
           comprising the alkylation of a compound of general formula (VI b) by a reagent of general formula (XVII) to provide a compound of general formula (VI);

- a third step according to reaction scheme A-3 :

Scheme A-3

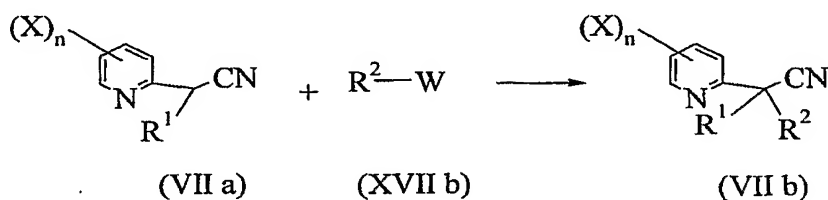


5            in which :    - X, n are as defined above;  
                               - R<sup>1</sup> is a C<sub>1</sub>-C<sub>6</sub> alkyl;  
                               - R<sup>6</sup> is a C<sub>1</sub>-C<sub>6</sub> alkyl, a C<sub>1</sub>-C<sub>6</sub> haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

10           comprising a basic hydrolysis, an acidic hydrolysis or a displacement by an halide of  
                  a compound of general formula (VI) in the same or a different pot to provide, upon  
                  heating at a temperature of from 40°C to reflux, a 2-pyridylacetonitrile derivative of  
                  general formula (VIIa);

- a fourth step according to reaction scheme A-4 :

Scheme A-4



15

                 in which :    - X, n are as defined above;

                              - R<sup>1</sup> is a C<sub>1</sub>-C<sub>6</sub> alkyl;

                              - R<sup>2</sup> is a C<sub>1</sub>-C<sub>6</sub> alkyl;

20

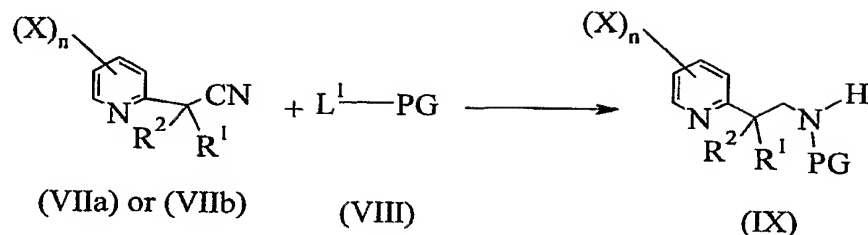
                              - W is a halogen atom, a C<sub>1</sub>-C<sub>6</sub> alkylsulfonate, a C<sub>1</sub>-C<sub>6</sub> haloalkylsulfonate or a 4-methyl-phenylsulfonate;

                 comprising the alkylation of a compound of general formula (VII a) by a reagent of  
                  general formula (XVII b) to provide a compound of general formula (VII b);



- a fifth step according to reaction scheme A-5 :

Scheme A-5



in which : - X, n are as defined above;

- R<sup>1</sup> is a C<sub>1</sub>-C<sub>6</sub> alkyl;

5 - R<sup>2</sup> is a hydrogen atom or a C<sub>1</sub>-C<sub>6</sub> alkyl;

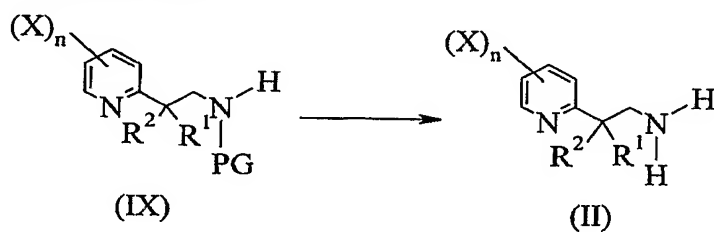
- L<sup>1</sup> is a leaving group chosen as being a -OR<sup>6</sup> group or a -OCOR<sup>6</sup> group, R<sup>6</sup> being a C<sub>1</sub>-C<sub>6</sub> alkyl, a C<sub>1</sub>-C<sub>6</sub> haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

10 - PG represents a protecting group which may be a -COOR<sup>6</sup> group or -COR<sup>6</sup> group, R<sup>6</sup> being a C<sub>1</sub>-C<sub>6</sub> alkyl, a C<sub>1</sub>-C<sub>6</sub> haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

comprising the reduction, by hydrogenation or by an hydride donor, of a compound of general formula (VIIa) or (VIIb), in the presence of a catalyst and in the presence of a compound of general formula (VIII) to produce a compound of general formula (IX), at a temperature of from 0°C to 150°C and under a pressure of from 1 bar and 100 bar;

- a sixth step according to reaction scheme A-6 :

Scheme A-6



20 in which : - X, n are as defined above;

- R<sup>1</sup> is a C<sub>1</sub>-C<sub>6</sub> alkyl;

- R<sup>2</sup> is a hydrogen atom or a C<sub>1</sub>-C<sub>6</sub> alkyl

25 - PG represents a protecting group which may be a -COOR<sup>6</sup> group or -COR<sup>6</sup> group, R<sup>6</sup> being a C<sub>1</sub>-C<sub>6</sub> alkyl, a C<sub>1</sub>-C<sub>6</sub> haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

comprising a deprotection reaction, in an acidic or in a basic medium, of a compound of general formula (IX) to provide an amine derivative of general formula (II) or one of its salt.

5       The first step (step A-1) is conducted in the presence of a base. Preferably, the base will be chosen as being an inorganic or an organic base. Suitable examples of such bases may for example be alkaline earth metal or alkali metal hydrides, hydroxides, amides, alcoholates, carbonates or hydrogen carbonates, acetates or tertiary amines.

10       The first step (step A-1) according to the present invention is conducted at a temperature of from 0°C to 200°C. Preferably, first step (step A-1) is conducted at a temperature of from 0°C to 120°C, more preferably at a temperature of from 0°C to 80°C.

15       The first step (step A-1) according to the present invention may be conducted in the presence of a solvent. Preferably, the solvent is chosen as being water, an organic solvent or a mixture of both. Suitable organic solvents may for example be aliphatic, alicyclic or aromatic solvent.

20       The first step (step A-1) according to the present invention may also be conducted in the presence of a catalyst. Preferably, the catalyst is chosen as being palladium salts or complexes. More preferably, the catalyst is chosen as being a palladium complex. Suitable palladium complex catalyst may for example be generated directly in the reaction mixture by separately adding to the reaction mixture a palladium salt and a complex ligand. Suitable ligands may for example be bulky phosphines or arsines ligands, such as (R)-(-)-1-[(S)-2-

25       (dicyclohexylphosphino)ferrocenyl]ethyldicyclohexylphosphine and its corresponding enantiomer, or a mixture of both; (R)-(-)-1[(S)-2-(dicyclohexylphosphino)ferrocenyl]ethyldiphenylphosphine and its corresponding enantiomer, or a mixture of both; (R)-(-)-1[(S)-2-(diphenylphosphino)ferrocenyl]ethyldi-t-butylphosphine and its corresponding

30       enantiomer, or a mixture of both; or (R)-(-)-1[(S)-2-(diphenylphosphino)ferrocenyl]ethyldicyclohexylphosphine and its corresponding enantiomer, or a mixture of both.

35       The fifth step (step A-5) according to the present invention is conducted in the presence of a hydride donor. Preferably, the hydride donor is chosen as being metal or metalloloid hydrides such as  $\text{LiAlH}_4$ ,  $\text{NaBH}_4$ ,  $\text{KBH}_4$ ,  $\text{B}_2\text{H}_6$ .

The fifth step (step A-5) according to the present invention is conducted in the presence of a catalyst. Preferably, the catalyst is chosen as being Co(II)-Chloride, Ni(II)-chloride, ammonia or one of its salt, Palladium on charcoal, Raney Nickel, Raney Cobalt or Platinum.

5 The fifth step (step A-5)) according to the present invention is conducted at a temperature of from 0°C to 150°C. Preferably the temperature is of from 10°C to 120°C. More preferably, the temperature is of from 10°C to 80°C.

The fifth step (step A-5) according to the present invention is conducted under a pressure of from 1 bar to 100 bar. Preferably the pressure is of from 1 bar to 10 50 bar.

The fifth step (step A-5) according to the present invention may be conducted in the presence of an organic solvent, of water or of a mixture thereof. Preferably, the solvent is chosen as being ether, alcohol, carboxylic acid, or a mixture thereof with water or pure water.

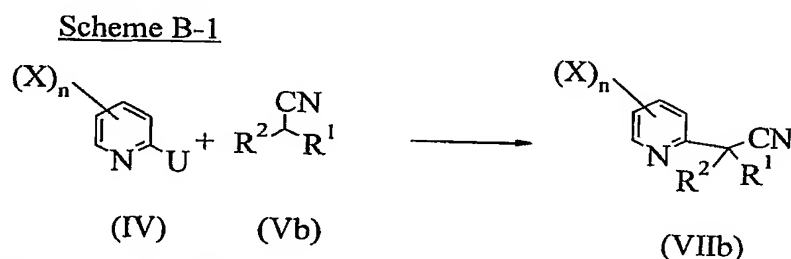
15 A second example (B) of such a process may be when :

-  $R^1$ ,  $R^2$ , X, n are as defined above; and

-  $R^3$ ,  $R^4$ ,  $R^5$  are hydrogen atoms;

then, the amine derivative of general formula (II) may be prepared according to a process which comprises :

20 - a first step according to reaction scheme B-1 :



in which : -  $R^1$ ,  $R^2$ , X and n are as defined above ;

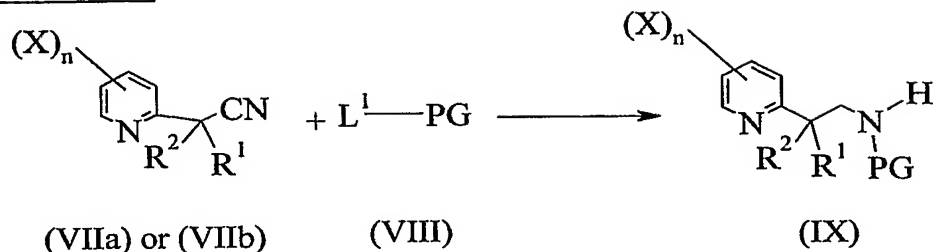
25 - U is a leaving group chosen as being a halogen atom, a  $C_1$ - $C_6$  alkylsulfonate or a  $C_1$ - $C_6$  haloalkylsulfonate;

comprising the arylation of a compound of general formula (Vb) by a pyridine derivative of general formula (IV) to provide a 2-pyridylacetonitrile derivative of general formula (VIIb), in the presence of a base and at a temperature of from -

30 100°C to 200°C;

- a second step according to reaction scheme B-2 :

Scheme B-2



in which : -  $\text{R}^1$ ,  $\text{R}^2$ , X and n are as defined above;

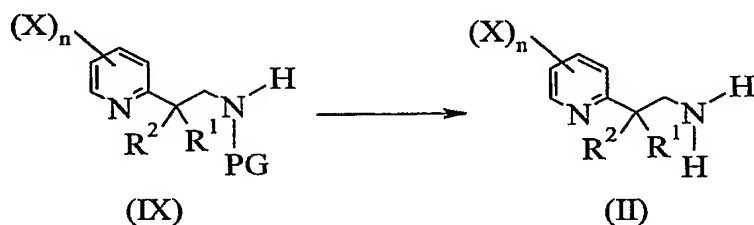
5 -  $\text{L}^1$  is a leaving group chosen as being a  $-\text{OR}^8$  group or a  $-\text{OCOR}^8$  group,  $\text{R}^8$  being a  $\text{C}_1\text{--C}_6$  alkyl, a  $\text{C}_1\text{--C}_6$  haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

- PG represents a protecting group which may be a  $-\text{COOR}^8$  group or  $-\text{COR}^8$  group,  $\text{R}^8$  being a  $\text{C}_1\text{--C}_6$  alkyl, a  $\text{C}_1\text{--C}_6$  haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

10 comprising the reduction, by hydrogenation or by an hydride donor, of a compound of general formula (VIIa) or (VIIb), in the presence of a compound of general formula (VIII) to produce a compound of general formula (IX) ;

- a third step according to reaction scheme B-3 :

Scheme B-3



15 in which : -  $\text{R}^1$ ,  $\text{R}^2$ , X and n are as defined above;

- PG represents a protecting group which may be a  $-\text{COOR}^8$  group or  $-\text{COR}^8$  group,  $\text{R}^8$  being a  $\text{C}_1\text{--C}_6$  alkyl, a  $\text{C}_1\text{--C}_6$  haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

20 comprising a deprotection reaction, in an acidic or in a basic medium, of a compound of general formula (IX) to provide an amine derivative of general formula (II) or one of its salt.

The first step (step B-1) is conducted at a temperature of from -100°C to 200°C. Preferably, first step (step A-1) is conducted at a temperature of from -80°C to 120°C, more preferably at a temperature of from -80°C to 80°C.

5 The first step (step B-1) according to the present invention is conducted in the presence of a base. Preferably, the base will be chosen as being an inorganic or an organic base. Suitable examples of such bases may for example be alkaline earth metal or alkali metal hydrides, hydroxides, amides, alcoholates, carbonates or hydrogen carbonates, acetates or tertiary amines.

10 The first step (step B-1) according to the present invention may be conducted in the presence of a solvent. Preferably, the solvent is chosen as being water, an organic solvent or a mixture of both. Suitable organic solvents may for example be aliphatic, alicyclic or aromatic solvent.

The first step (step B-1) according to the present invention may also be conducted in the presence of a catalyst. Preferably, the catalyst is chosen as being  
 15 palladium salts or complexes. More preferably, the catalyst is chosen as being a palladium complex. Suitable palladium complex catalyst may for example be generated directly in the reaction mixture by separately adding to the reaction mixture a palladium salt and a complex ligand. Suitable ligands may for example be bulky phosphines or arsines ligands, such as (R)-(-)-1-[(S)-2-  
 20 (dicyclohexylphosphino)ferrocenyl]ethyl-dicyclohexylphosphine and its corresponding enantiomer, or a mixture of both; (R)-(-)-1-[(S)-2-(dicyclohexylphosphino)ferrocenyl]ethyl-diphenylphosphine and its corresponding enantiomer, or a mixture of both; (R)-(-)-1-[(S)-2-(diphenylphosphino)ferrocenyl]ethyl-di-t-butylphosphine and its corresponding  
 25 enantiomer, or a mixture of both; or (R)-(-)-1-[(S)-2-(diphenylphosphino)ferrocenyl]ethyl-dicyclohexylphosphine and its corresponding enantiomer, or a mixture of both.

30 The preferred conditions under which step B-2 is conducted are the same than the preferred conditions under which step A-4 of the above mentioned process A is conducted.

The preferred conditions under which step B-3 is conducted are the same than the preferred conditions under which step A-5 of the above mentioned process A is conducted.

A third example (C) of such a process may be when :

-  $R^2$ , X, n are as defined above;

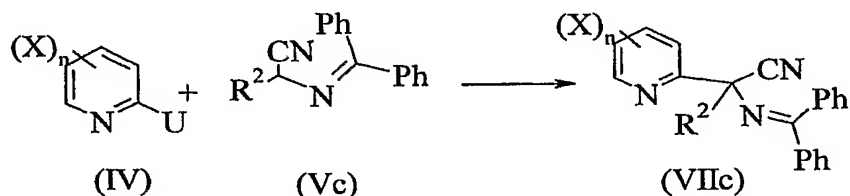
-  $R^1$  is a formylamino, a  $C_1$ - $C_8$ -alkylcarbonylamino, a  $C_1$ - $C_8$ -halogenoalkylcarbonylamino having 1 to 5 halogen atoms, a phenylcarbonylamino or a 2,6-dichlorophenylcarbonylamino; and

-  $R^3$ ,  $R^4$ ,  $R^5$  are hydrogen atoms;

then, the amine derivative of general formula (II) may be prepared according to a process comprising :

- a first step according to reaction scheme C-1 :

Scheme C-1



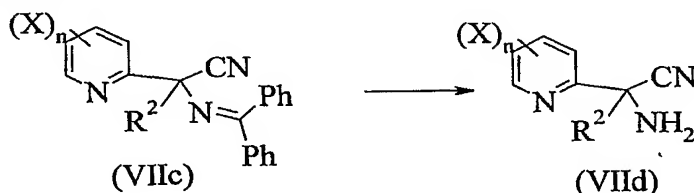
in which : -  $R^2$ , X, n are as defined above;

- U is a leaving group chosen as being a halogen atom, a  $C_1$ - $C_6$  alkylsulfonate or a  $C_1$ - $C_6$  haloalkylsulfonate;

comprising the arylation of a compound of general formula (Vc) by a pyridine derivative of general formula (IV) to provide a 2-pyridylacetone nitrile derivative of general formula (VIIc), in the presence of a base and at a temperature of from -100°C to 200°C;

- a second step according to reaction scheme C-2 :

Scheme C-2

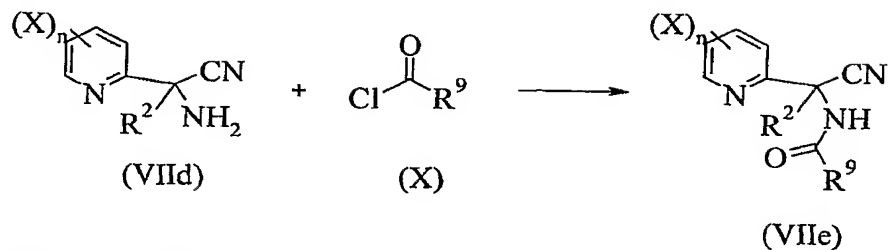


in which  $R^2$ , X, n are as defined above;

comprising the de-protection, by acidic hydrolysis, of a compound of general formula (VIIc), to produce a compound of general formula (VIId) or one of its salt ;

- a third step according to reaction scheme C-3 :

Scheme C-3



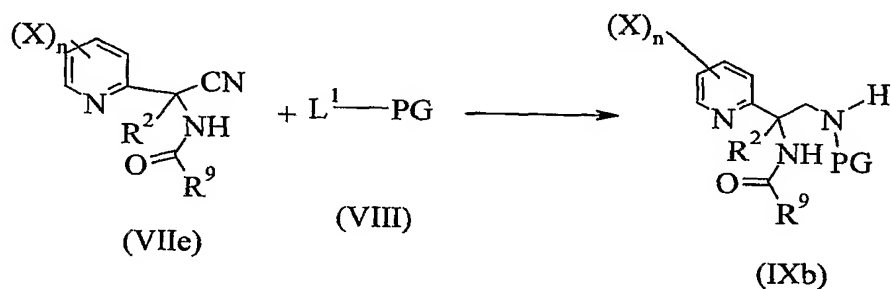
in which : -  $R^2$ , X, n are as defined above;

-  $R^9$  is a hydrogen atom, a  $C_1$ - $C_6$  alkyl group, a  $C_1$ - $C_8$ -halogenoalkyl having 1 to 5 halogen atoms, a phenyl or 2,6 dichlorophenyl ;

5 comprising the coupling between a compound of general formula (VIId) and an acyl chloride of general formula (X) to produce a compound of general formula (VIIe).

- a fourth step according to reaction scheme C-4 :

Scheme C-4



10 in which : -  $R^2$ , X, n are as defined above;

-  $R^9$  is a hydrogen atom, a  $C_1$ - $C_6$  alkyl group, a  $C_1$ - $C_8$ -halogenoalkyl having 1 to 5 halogen atoms, a phenyl or 2,6 dichlorophenyl;

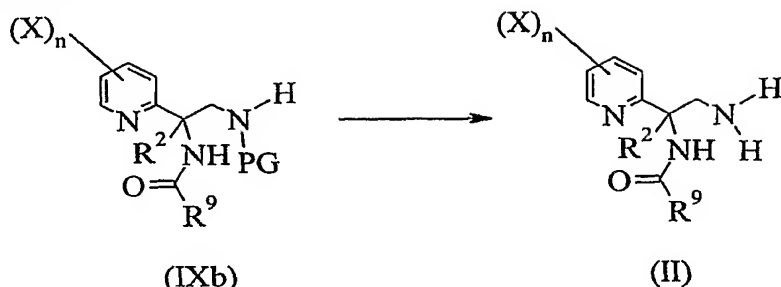
15 -  $L^1$  is a leaving group chosen as being a  $-\text{OR}^8$  group or a  $\text{OCOR}^8$  group,  $R^8$  being a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

- PG represents a protecting group which may be a  $-\text{COOR}^8$  group or  $-\text{COR}^8$  group,  $R^8$  being a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

20 comprising the reduction, by hydrogenation or by an hydride donor, of a compound of general formula (VIIe), in the presence of a compound of general formula (VIII) to produce a compound of general formula (IXb);

- a fifth step according to scheme C-5

Scheme C-5



in which : -  $R^2$ , X, n are as defined above;

-  $R^9$  is a hydrogen atom, a  $C_1$ - $C_6$  alkyl group, a  $C_1$ - $C_8$ -halogenoalkyl having 1 to 5 halogen atoms, a phenyl or 2,6 dichlorophenyl;

-  $L^1$  is a leaving group chosen as being a  $-OR^8$  group or a  $OCOR^8$  group,  $R^8$  being a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

- PG represents a protecting group which may be a  $-COOR^8$  group or  $-COR^8$  group,  $R^8$  being a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

comprising a deprotection reaction, in an acidic or in a basic medium, of a compound of general formula (IXb) to provide an amine derivative of general formula (II) or one of its salt.

A fourth example (D) of such a process may be when :

-  $R^1$ , X, Y, n and p are as defined above;

-  $R^2$  is a hydrogen atom;

-  $R^3$  is a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a benzyl or a phenyl; and

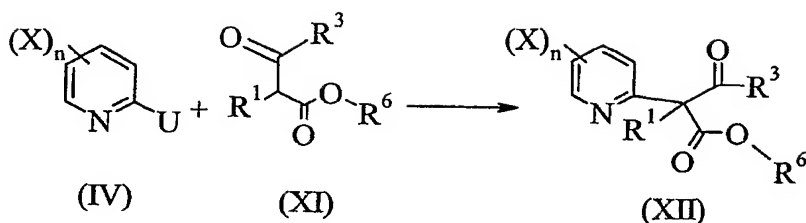
-  $R^5$  is a hydrogen atom, a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a  $C_1$ - $C_6$  alkoxy or a  $C_3$ - $C_7$  cycloalkyl;

then, the amine derivative of general formula (II) may be prepared according to a process comprising :

- a first step according to reaction scheme D-1 :



## Scheme D-1



in which : - R<sup>1</sup>, X and n are as defined above;

- R<sup>3</sup> is a C<sub>1</sub>-C<sub>6</sub> alkyl, a C<sub>1</sub>-C<sub>6</sub> haloalkyl, a benzyl or a phenyl;

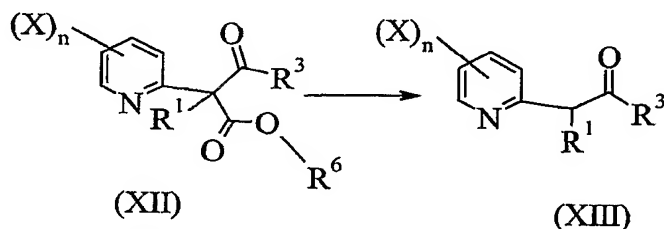
- R<sup>6</sup> is a C<sub>1</sub>-C<sub>6</sub> alkyl, a C<sub>1</sub>-C<sub>6</sub> haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

- U is a leaving group chosen as being a halogen, a C<sub>1</sub>-C<sub>6</sub> alkylsulfonate or a C<sub>1</sub>-C<sub>6</sub> haloalkylsulfonate;

comprising the arylation of a ketoacetate derivative of general formula (XI) by a pyridine derivative of general formula (IV), to provide a 2-(pyridyl)ketoacetate derivative of general formula (XII), in the presence of a base, at a temperature of from 0°C to 200°C;

- a second step according to reaction scheme D-2 :

## Scheme D-2



in which : - R<sup>1</sup>, X, n are as defined above;

- R<sup>2</sup> is a hydrogen atom;

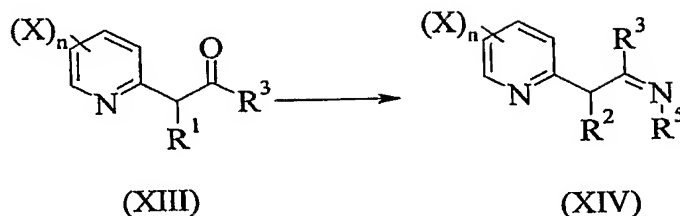
- R<sup>3</sup> is a C<sub>1</sub>-C<sub>6</sub> alkyl, a C<sub>1</sub>-C<sub>6</sub> haloalkyl, a benzyl or a phenyl;

- R<sup>6</sup> is a C<sub>1</sub>-C<sub>6</sub> alkyl, a C<sub>1</sub>-C<sub>6</sub> haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

comprising a basic hydrolysis, an acidic hydrolysis or a displacement by an halide of a compound of general formula (XII) in the same or a different pot to provide, upon heating at a temperature of from 40°C to reflux, a 2-pyridylketone derivative of general formula (XIII);

- a third step according to reaction scheme D-3 :

Scheme D-3



in which : -  $R^1$ , X and n are as defined above;

-  $R^2$  is a hydrogen atom;

-  $R^3$  is a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a benzyl or a phenyl;

-  $R^5$  is a hydrogen atom, a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a  $C_1$ -

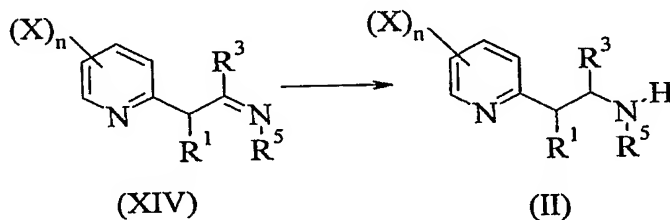
$C_6$  alkoxy or a  $C_3$ - $C_7$  cycloalkyl;

comprising the reaction of a compound of general formula (XIII) with an amine of

formula  $R^5$ -NH<sub>2</sub> to provide an imine derivative of general formula (XIV);

- a fourth step according to scheme D-4 :

Scheme D-4



in which : -  $R^1$ , X and n are as defined above;

-  $R^2$  is a hydrogen atom;

-  $R^3$  is a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a benzyl, a phenyl;

-  $R^5$  is a hydrogen atom, a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a  $C_1$ -

$C_6$  alkoxy or a  $C_3$ - $C_7$  cycloalkyl;

comprising the reduction of an imine derivative of general formula (XIV) by

hydrogenation or by an hydride donor, in the same or a different pot to provide an

amine derivative of general formula (II) or one of its salt.

A fifth example (E) of such a process may be when :

-  $R^1$ ,  $R^2$ , X, Y, n and p are as defined above;

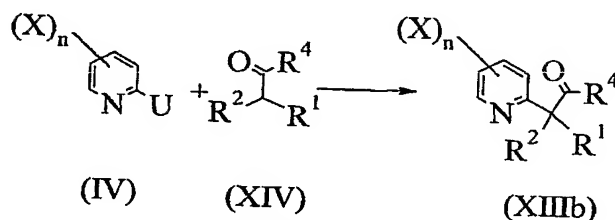
-  $R^3$  is a hydrogen atom

- $R^4$  is a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a benzyl, a phenyl; and
- $R^5$  is a hydrogen atom, a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a  $C_1$ - $C_6$  alkoxy or a  $C_3$ - $C_7$  cycloalkyl;

then, the amine derivative of general formula (II) may be prepared according to a process comprising :

- a first step according to reaction scheme E-1 :

Scheme E-1



in which : -  $R^1$ ,  $R^2$ , X and n are as defined above;

-  $R^4$  is a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a benzyl or a phenyl;

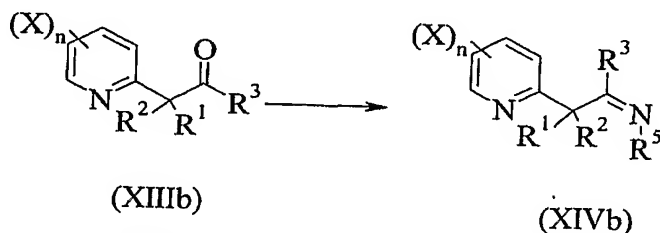
-  $R^6$  is a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

- U is a leaving group chosen as being a halogen, a  $C_1$ - $C_6$  alkylsulfonate or a  $C_1$ - $C_6$  haloalkylsulfonate;

comprising the arylation of a ketone derivative of general formula (XIV) by a pyridine derivative of general formula (IV), to provide a 2-(pyridyl)ketone derivative of general formula (XIIIb), in the presence of a base, at a temperature of from  $0^\circ\text{C}$  to  $200^\circ\text{C}$ ;

- a second step according to reaction scheme E-2 :

Scheme E-2



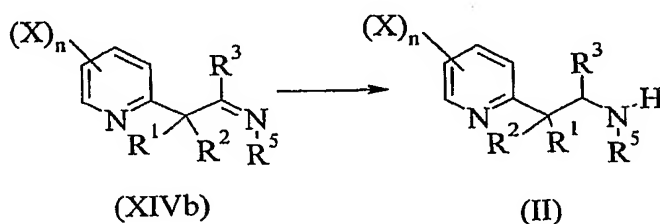
in which : -  $R^1$ ,  $R^2$ , X and n are as defined above;

-  $R^4$  is a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a benzyl or a phenyl;

-  $R^5$  is a hydrogen atom, a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a  $C_1$ - $C_6$  alkoxy or a  $C_3$ - $C_7$  cycloalkyl;

comprising the reaction of a compound of general formula (XIIIb) with an amine of formula  $R^5-NH_2$  to provide an imine derivative of general formula (XIVb);  
 - a third step according to scheme E-3 :

Scheme E-3



5

in which :  
 -  $R^1$ ,  $R^2$ ,  $X$  and  $n$  are as defined above;  
 -  $R^3$  is a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a benzyl or a phenyl;  
 -  $R^4$  is a hydrogen atom;  
 -  $R^5$  is a hydrogen atom, a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a  $C_1$ -

10  $C_6$  alkoxy or a  $C_3$ - $C_7$  cycloalkyl;  
 comprising the reduction of an imine derivative of general formula (XIVb) by hydrogenation or by an hydride donor, in the same or a different pot to provide an amine derivative of general formula (II) or one of its salt.

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A sixth example (F) of such a process may be when :

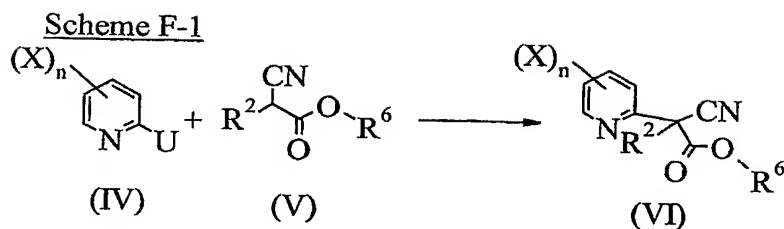
-  $R^2$ ,  $X$ ,  $n$  are as defined above;  
 -  $R^1$  is a cyano group, a hydroxy group, a  $C_2$ - $C_6$ -alkynyl, a  $C_1$ - $C_6$ -alkylamino, a di-  
 20  $C_1$ - $C_6$ -alkylamino, a  $C_1$ - $C_6$ -alkoxy, a  $C_1$ - $C_6$ -halogenoalkoxy having 1 to 5 halogen atoms, a  $C_1$ - $C_6$ -alkylsulfanyl, a  $C_1$ - $C_6$ -halogenoalkylsulfanyl having 1 to 5 halogen atoms, a  $C_2$ - $C_6$ -alkenyloxy, a  $C_2$ - $C_6$ -halogenoalkenyloxy having 1 to 5 halogen atoms, a  $C_3$ - $C_6$ -alkynyloxy, a  $C_3$ - $C_6$ -halogenoalkynyloxy having 1 to 5 halogen atoms, a benzyloxy, a benzylsulfanyl, a benzylamino, a phenoxy, a phenylsulfanyl, a phenylamino, or a phenyl sulphanyl group, a  $C_1$ - $C_6$ -alkylcarbonyloxy, a  $C_1$ - $C_6$ -halogenoalkylcarbonyloxy having 1 to 5 halogen atoms; and

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-  $R^3$  and  $R^4$  are hydrogen atoms;

then, the amine derivative of general formula (II) may be prepared according to a process comprising :

- a first step according to reaction scheme F-1 :



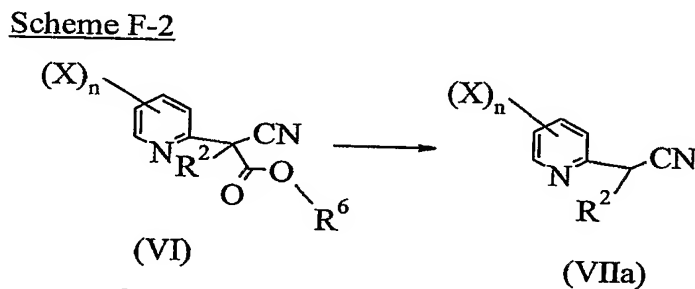
in which : -  $R^2$ , X and n are as defined above;

-  $R^6$  is a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

- U is a leaving group chosen as being a halogen, a  $C_1$ - $C_6$  alkylsulfonate or a  $C_1$ - $C_6$  haloalkylsulfonate;

comprising the arylation of a cyanoacetate derivative of general formula (V) by a pyridine derivative of general formula (IV), to provide a 2-(pyridyl)cyanoacetate derivative of general formula (VI), in the presence of a base, at a temperature of from  $0^\circ\text{C}$  to  $200^\circ\text{C}$ ;

- a second step according to reaction scheme F-2 :



in which : -  $R^2$ , X, n are as defined above;

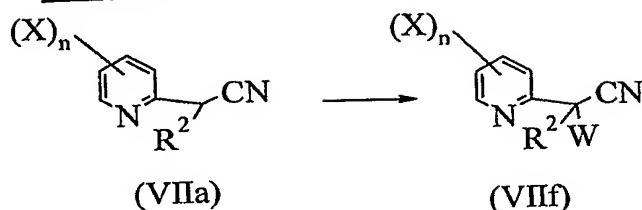
-  $R^1$  is a hydrogen atom;

-  $R^6$  is a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

comprising a basic hydrolysis, an acidic hydrolysis or a displacement by an halide of a compound of general formula (VI) in the same or a different pot to provide, upon heating at a temperature of from  $40^\circ\text{C}$  to reflux, a 2-pyridylacetonitrile derivative of general formula (VIIa);

- a third step according to reaction scheme F-3 :

## Scheme F-3

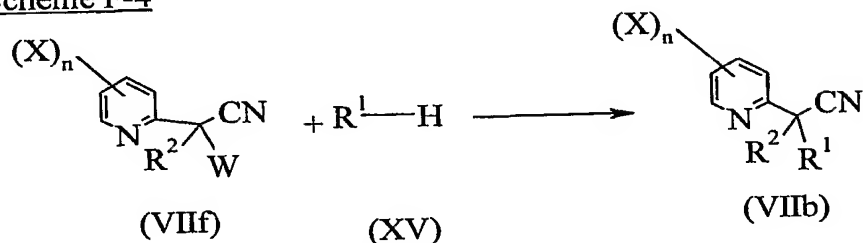


in which :    -  $\text{R}^2$ , X, n are as defined above;  
                   - W is a halogen atom;

comprising the halogenation of a compound of general formula (VIIa) to provide a compound of general formula (VIIf);

- a fourth step according to reaction scheme F-4 :

## Scheme F-4



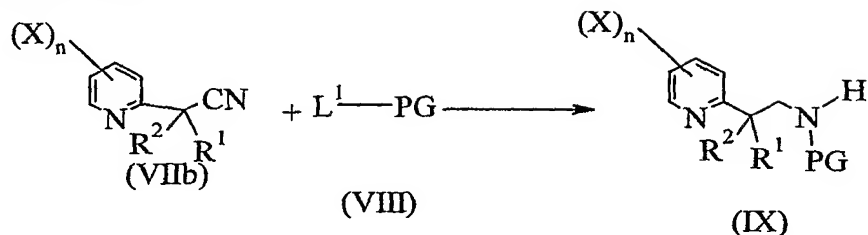
in which :    -  $\text{R}^2$ , X, n are as defined above;  
                   - W is a halogen atom;

-  $\text{R}^1$  is a cyano group, a hydroxy group, a  $\text{C}_2\text{-C}_6$ -alkynyl, a  $\text{C}_1\text{-C}_6$ -alkylamino, a di- $\text{C}_1\text{-C}_6$ -alkylamino, a  $\text{C}_1\text{-C}_6$ -alkoxy, a  $\text{C}_1\text{-C}_6$ -halogenoalkoxy having 1 to 5 halogen atoms, a  $\text{C}_1\text{-C}_6$ -alkylsulfanyl, a  $\text{C}_1\text{-C}_6$ -halogenoalkylsulfanyl having 1 to 5 halogen atoms, a  $\text{C}_2\text{-C}_6$ -alkenyloxy, a  $\text{C}_2\text{-C}_6$ -halogenoalkenyloxy having 1 to 5 halogen atoms, a  $\text{C}_3\text{-C}_6$ -alkynyloxy, a  $\text{C}_3\text{-C}_6$ -halogenoalkynyloxy having 1 to 5 halogen atoms, a benzyloxy, a benzylsulfanyl, a benzylamino, a phenoxy, a phenylsulfanyl, a phenylamino, or a phenyl sulphanyl group, a  $\text{C}_1\text{-C}_6$ -alkylcarbonyloxy or a  $\text{C}_1\text{-C}_6$ -halogenoalkylcarbonyloxy having 1 to 5 halogen atoms;

comprising the nucleophilic substitution, in the presence of a base, of a compound of general formula (VIIf) in the presence of a compound of general formula (XV) to produce a compound of general formula (VIIb), at a temperature of from  $-78^\circ\text{C}$  to  $150^\circ\text{C}$ ;

- a fifth step according to reaction scheme F-5 :

Scheme F-5



in which : - R<sup>2</sup>, X, n are as defined above;

- R<sup>1</sup> is a cyano group, a hydroxy group, a C<sub>2</sub>-C<sub>6</sub>-alkynyl, a C<sub>1</sub>-C<sub>6</sub>-alkylamino, a di-C<sub>1</sub>-C<sub>6</sub>-alkylamino, a C<sub>1</sub>-C<sub>6</sub>-alkoxy, a C<sub>1</sub>-C<sub>6</sub>-halogenoalkoxy having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, a C<sub>1</sub>-C<sub>6</sub>-halogenoalkylsulfanyl having 1 to 5 halogen atoms, a C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, a C<sub>2</sub>-C<sub>6</sub>-halogenoalkenyloxy having 1 to 5 halogen atoms, a C<sub>3</sub>-C<sub>6</sub>-alkynyloxy, a C<sub>3</sub>-C<sub>6</sub>-halogenoalkynyloxy having 1 to 5 halogen atoms, a benzyloxy, a benzylsulfanyl, a benzylamino, a phenoxy, a phenylsulfanyl, a phenylamino, or a phenyl sulphanyl group, a C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyloxy or a C<sub>1</sub>-C<sub>6</sub>-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms;

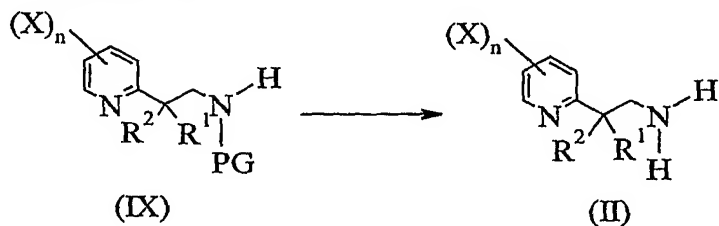
- L<sup>1</sup> is a leaving group chosen as being a -OR<sup>8</sup> group or a -OCOR<sup>8</sup> group, R<sup>8</sup> being a C<sub>1</sub>-C<sub>6</sub> alkyl, a C<sub>1</sub>-C<sub>6</sub> haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

- PG represents a protecting group which may be a -COOR<sup>8</sup> group or -COR<sup>8</sup> group, R<sup>8</sup> being a C<sub>1</sub>-C<sub>6</sub> alkyl, a C<sub>1</sub>-C<sub>6</sub> haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;

comprising the reduction, by hydrogenation or by an hydride donor, of a compound of general formula (VIIb), in the presence of a catalyst and in the presence of a compound of general formula (VIII) to produce a compound of general formula (IX), at a temperature of from 0°C to 150°C and under a pressure of from 1 bar and 100 bar;

- a sixth step according to reaction scheme F-6 :

Scheme F-6



in which : - R<sup>2</sup>, X, n are as defined above;

-  $R^1$  is a cyano group, a hydroxy group, a  $C_2$ - $C_6$ -alkynyl, a  $C_1$ - $C_6$ -alkylamino, a di- $C_1$ - $C_6$ -alkylamino, a  $C_1$ - $C_6$ -alkoxy, a  $C_1$ - $C_6$ -halogenoalkoxy having 1 to 5 halogen atoms, a  $C_1$ - $C_6$ -alkylsulfanyl, a  $C_1$ - $C_6$ -halogenoalkylsulfanyl having 1 to 5 halogen atoms, a  $C_2$ - $C_6$ -alkenyloxy, a  $C_2$ - $C_6$ -halogenoalkenyloxy having 1 to 5 halogen atoms, a  $C_3$ - $C_6$ -alkynyloxy, a  $C_3$ - $C_6$ -halogenoalkynyloxy having 1 to 5 halogen atoms, a benzyloxy, a benzylsulfanyl, a benzylamino, a phenoxy, a phenylsulfanyl, a phenylamino, or a phenyl sulphanyl group, a  $C_1$ - $C_6$ -alkylcarbonyloxy or a  $C_1$ - $C_6$ -halogenoalkylcarbonyloxy having 1 to 5 halogen atoms;

- PG represents a protecting group which may be a  $-COOR^8$  group or  $-COR^8$  group,  $R^8$  being a  $C_1$ - $C_6$  alkyl, a  $C_1$ - $C_6$  haloalkyl, a benzyl, 4-methoxybenzyl or pentafluorophenyl;  
comprising a deprotection reaction, in an acidic or in a basic medium, of a compound of general formula (IX) to provide an amine derivative of general formula (II) or one of its salt.

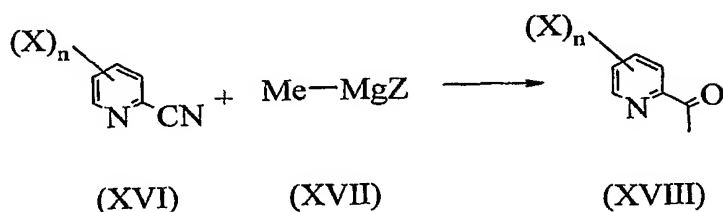
A seventh example (G) of such a process may be when :

- X, n are as defined above;
- $R^1$  is a hydroxy group; and
- $R^3$ ,  $R^2$ , and  $R^4$  are hydrogen atoms;

then, the amine derivative of general formula (II) may be prepared according to a process comprising :

- a first step according to reaction scheme G-1 :

Scheme G-1

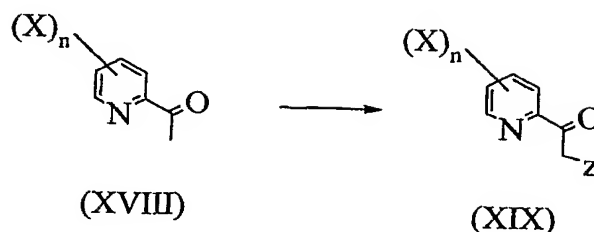


in which :  
 - X and n are as defined above;  
 - Z is a halogen atom;

comprising the addition of a methyl magnesium halogenide of general formula (XVII) on a pyridine derivative of general formula (XVI) to provide a compound of general formula (XVIII);

- a second step according to reaction scheme G-2 :

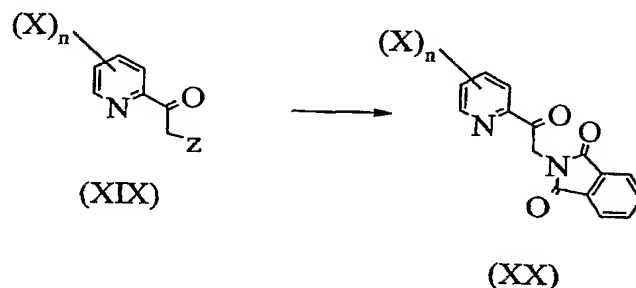


Scheme G-2

in which :    - X and n are as defined above;  
                   - Z is a halogen atom;

5 comprising the halogenation of a compound of general formula (XVIII) into a compound of general formula (XIX) by use of a halogenating agent such as chlorine, bromine, hypochlorite ions, hypobromite ions, trichloride ions, tribromide ions, N-chloro imides, N-chloro amides, N-chloro amines, N-bromo imides, N-bromo amides or N-bromo amines;

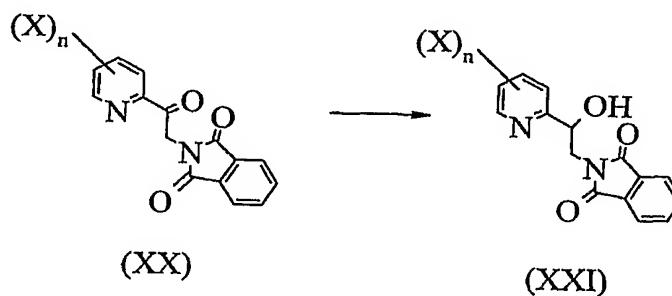
- a third step according to reaction scheme G-3 :

Scheme G-3

10 in which :    - X and n are as defined above;  
                   - Z is a halogen atom;

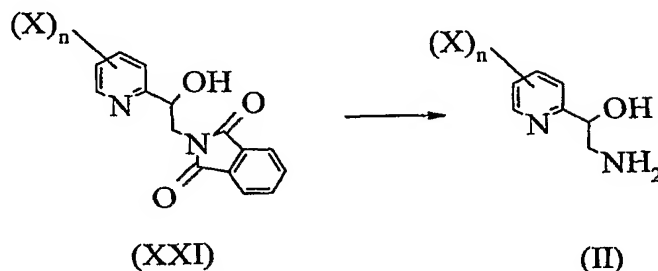
comprising the nucleophilic substitution of a compound of general formula (XIX) by a phthalimide salt to produce a compound of general formula (XX);

15 - a fourth step according to reaction scheme G-4 :

Scheme G-4

in which X and n are as defined above;  
 comprising the reduction of a compound of general formula (XX) by a hydride donor to produce a compound of general formula (XXI);  
 - a fifth step according to reaction scheme G-5 :

Scheme G-5



in which X and n are as defined above;  
 comprising the de-protection of a compound of general formula (XXI) by reacting it with hydrazine hydrate or a hydrazine salt to provide an amine derivative of general formula (II) or one of its salt;

Compounds according to the present invention can be prepared according to the above described processes. It will nevertheless be understood that, on the basis of his general knowledge and of available publications, the skilled worker will be able to adapt these processes according to the specifics of each of the compounds which it is desired to synthesise.

The present invention also relates to a fungicidal composition comprising an effective amount of an active material of general formula (I). Thus, according to the present invention, there is provided a fungicidal composition comprising, as an active ingredient, an effective amount of a compound of general formula (I) as defined above and an agriculturally acceptable support, carrier or filler.

In the present specification, the term "support" denotes a natural or synthetic, organic or inorganic material with which the active material is combined to make it easier to apply, notably to the parts of the plant. This support is thus generally inert and should be agriculturally acceptable. The support may be a solid or a liquid. Examples of suitable supports include clays, natural or synthetic silicates, silica, resins, waxes, solid fertilisers, water, alcohols, in particular butanol, organic solvents, mineral and plant oils and derivatives thereof. Mixtures of such supports may also be used.

The composition may also comprise additional components. In particular, the composition may further comprise a surfactant. The surfactant can be an emulsifier, a dispersing agent or a wetting agent of ionic or non-ionic type or a mixture of such surfactants. Mention may be made, for example, of polyacrylic acid salts, lignosulphonic acid salts, phenolsulphonic or naphthalenesulphonic acid salts, polycondensates of ethylene oxide with fatty alcohols or with fatty acids or with fatty amines, substituted phenols (in particular alkylphenols or arylphenols), salts of sulphosuccinic acid esters, taurine derivatives (in particular alkyl taurates), phosphoric esters of polyoxyethylated alcohols or phenols, fatty acid esters of polyols, and derivatives of the above compounds containing sulphate, sulphonate and phosphate functions. The presence of at least one surfactant is generally essential when the active material and/or the inert support are water-insoluble and when the vector agent for the application is water. Preferably, surfactant content may be comprised between 5% and 40% by weight of the composition.

Optionally, additional components may also be included, e.g. protective colloids, adhesives, thickeners, thixotropic agents, penetration agents, stabilisers, sequestering agents. More generally, the active materials can be combined with any solid or liquid additive, which complies with the usual formulation techniques.

In general, the composition according to the invention may contain from 0.05 to 99% (by weight) of active material, preferably 10 to 70% by weight.

Compositions according to the present invention can be used in various forms such as aerosol dispenser, capsule suspension, cold fogging concentrate, dustable powder, emulsifiable concentrate, emulsion oil in water, emulsion water in oil, encapsulated granule, fine granule, flowable concentrate for seed treatment, gas (under pressure), gas generating product, granule, hot fogging concentrate, macrogranule, microgranule, oil dispersible powder, oil miscible flowable concentrate, oil miscible liquid, paste, plant rodlet, powder for dry seed treatment, seed coated with a pesticide, soluble concentrate, soluble powder, solution for seed treatment, suspension concentrate (flowable concentrate), ultra low volume (ulv) liquid, ultra low volume (ulv) suspension, water dispersible granules or tablets, water dispersible powder for slurry treatment, water soluble granules or tablets, water soluble powder for seed treatment and wettable powder.

These compositions include not only compositions which are ready to be applied to the plant or seed to be treated by means of a suitable device, such as a spraying or dusting device, but also concentrated commercial compositions which must be diluted before application to the crop.

The compounds of the invention can also be mixed with one or more insecticides, fungicides, bactericides, attractant acaricides or pheromones or other compounds with biological activity. The mixtures thus obtained have a broadened spectrum of activity. The mixtures with other fungicides are particularly advantageous.

The fungicidal compositions of the present invention can be used to curatively or preventively control the phytopathogenic fungi of crops. Thus, according to a further aspect of the present invention, there is provided a method for curatively or preventively controlling the phytopathogenic fungi of crops characterised in that a fungicidal composition as hereinbefore defined is applied to the seed, the plant and/or to the fruit of the plant or to the soil in which the plant is growing or in which it is desired to grow.

The composition as used against phytopathogenic fungi of crops comprises an effective and non-phytotoxic amount of an active material of general formula (I).

The expression "effective and non-phytotoxic amount" means an amount of composition according to the invention which is sufficient to control or destroy the fungi present or liable to appear on the crops, and which does not entail any appreciable symptom of phytotoxicity for the said crops. Such an amount can vary within a wide range depending on the fungus to be controlled, the type of crop, the climatic conditions and the compounds included in the fungicidal composition according to the invention.

This amount can be determined by systematic field trials, which are within the capabilities of a person skilled in the art.

The method of treatment according to the present invention is useful to treat propagation material such as tubers or rhizomes, but also seeds, seedlings or seedlings pricking out and plants or plants pricking out. This method of treatment can also be useful to treat roots. The method of treatment according to the present invention can also be useful to treat the overground parts of the plant such as trunks, stems or stalks, leaves, flowers and fruits of the concerned plant.

Among the plants that can be protected by the method according to the invention, mention may be made of cotton; flax; vine; fruit crops such as *Rosaceae* sp. (for instance pip fruits such as apples and pears, but also stone fruits such as apricots, almonds and peaches), *Ribesioideae* sp., *Juglandaceae* sp., *Betulaceae* sp., *Anacardiaceae* sp., *Fagaceae* sp., *Moraceae* sp., *Oleaceae* sp., *Actinidaceae* sp., *Lauraceae* sp., *Musaceae* sp. (for instance banana trees and plantains), *Rubiaceae* sp., *Theaceae* sp., *Sterculiaceae* sp., *Rutaceae* sp. (for instance lemons, oranges and

grapefruits); leguminous crops such as *Solanaceae* sp. (for instance tomatoes), *Liliaceae* sp., *Asteraceae* sp. (for instance lettuces), *Umbelliferae* sp., *Cruciferae* sp., *Chenopodiaceae* sp., *Cucurbitaceae* sp., *Papilionaceae* sp. (for instance peas), *Rosaceae* sp. (for instance strawberries); big crops such as *Graminae* sp. (for instance maize, cereals such as wheat, rice, barley and triticales), *Asteraceae* sp. (for instance sunflower), *Cruciferae* sp. (for instance colza), *Papilionaceae* sp. (for instance soja), *Solanaceae* sp. (for instance potatoes), *Chenopodiaceae* sp. (for instance beetroots); horticultural and forest crops; as well as genetically modified homologues of these crops.

Among the plants and the possible diseases of these plants protected by the method according to the present invention, mention may be made of :

- wheat, as regards controlling the following seed diseases: fusaria (*Microdochium nivale* and *Fusarium roseum*), stinking smut (*Tilletia caries*, *Tilletia controversa* or *Tilletia indica*), septoria disease (*Septoria nodorum*) and loose smut;
- wheat, as regards controlling the following diseases of the aerial parts of the plant: cereal eyespot (*Tapesia yallundae*, *Tapesia acuiformis*), take-all (*Gaeumannomyces graminis*), foot blight (*F. culmorum*, *F. graminearum*), black speck (*Rhizoctonia cerealis*), powdery mildew (*Erysiphe graminis forma specie tritici*), rusts (*Puccinia striiformis* and *Puccinia recondita*) and septoria diseases (*Septoria tritici* and *Septoria nodorum*);
- wheat and barley, as regards controlling bacterial and viral diseases, for example barley yellow mosaic;
- barley, as regards controlling the following seed diseases: net blotch (*Pyrenophora graminea*, *Pyrenophora teres* and *Cochliobolus sativus*), loose smut (*Ustilago nuda*) and fusaria (*Microdochium nivale* and *Fusarium roseum*);
- barley, as regards controlling the following diseases of the aerial parts of the plant: cereal eyespot (*Tapesia yallundae*), net blotch (*Pyrenophora teres* and *Cochliobolus sativus*), powdery mildew (*Erysiphe graminis forma specie hordei*), dwarf leaf rust (*Puccinia hordei*) and leaf blotch (*Rhynchosporium secalis*);
- potato, as regards controlling tuber diseases (in particular *Helminthosporium solani*, *Phoma tuberosa*, *Rhizoctonia solani*, *Fusarium solani*), mildew (*Phytophthora infestans*) and certain viruses (virus Y);
- potato, as regards controlling the following foliage diseases: early blight (*Alternaria solani*), mildew (*Phytophthora infestans*);

- cotton, as regards controlling the following diseases of young plants grown from seeds: damping-off and collar rot (*Rhizoctonia solani*, *Fusarium oxysporum*) and black root rot (*Thielaviopsis basicola*);

5 - protein yielding crops, for example peas, as regards controlling the following seed diseases: anthracnose (*Ascochyta pisi*, *Mycosphaerella pinodes*), fusaria (*Fusarium oxysporum*), grey mould (*Botrytis cinerea*) and mildew (*Peronospora pisi*);

- oil-bearing crops, for example rape, as regards controlling the following seed diseases: *Phoma lingam*, *Alternaria brassicae* and *Sclerotinia sclerotiorum*;

10 - corn, as regards controlling seed diseases: (*Rhizopus* sp., *Penicillium* sp., *Trichoderma* sp., *Aspergillus* sp., and *Gibberella fujikuroi*);

- flax, as regards controlling the seed disease: *Alternaria linicola*;

- forest trees, as regards controlling damping-off (*Fusarium oxysporum*, *Rhizoctonia solani*);

15 - rice, as regards controlling the following diseases of the aerial parts: blast disease (*Magnaporthe grisea*), bordered sheath spot (*Rhizoctonia solani*);

- leguminous crops, as regards controlling the following diseases of seeds or of young plants grown from seeds: damping-off and collar rot (*Fusarium oxysporum*, *Fusarium roseum*, *Rhizoctonia solani*, *Pythium* sp.);

20 - leguminous crops, as regards controlling the following diseases of the aerial parts: grey mould (*Botrytis* sp.), powdery mildews (in particular *Erysiphe cichoracearum*, *Sphaerotheca fuliginea* and *Leveillula taurica*), fusaria (*Fusarium oxysporum*, *Fusarium roseum*), leaf spot (*Cladosporium* sp.), alternaria leaf spot (*Alternaria* sp.), anthracnose (*Colletotrichum* sp.), septoria leaf spot (*Septoria* sp.),  
25 black speck (*Rhizoctonia solani*), mildews (for example *Bremia lactucae*, *Peronospora* sp., *Pseudoperonospora* sp., *Phytophthora* sp.);

- fruit trees, as regards diseases of the aerial parts: monilia disease (*Monilia fructigenae*, *M. laxa*), scab (*Venturia inaequalis*), powdery mildew (*Podosphaera leucotricha*);

30 - vine, as regards diseases of the foliage: in particular grey mould (*Botrytis cinerea*), powdery mildew (*Uncinula necator*), black rot (*Guignardia biwelli*) and mildew (*Plasmopara viticola*);

- beetroot, as regards the following diseases of the aerial parts: cercospora blight (*Cercospora beticola*), powdery mildew (*Erysiphe beticola*), leaf spot  
35 (*Ramularia beticola*).

The fungicide composition according to the present invention may also be used against fungal diseases liable to grow on or inside timber. The term "timber" means all types of species of wood, and all types of working of this wood intended for construction, for example solid wood, high-density wood, laminated wood, and plywood. The method for treating timber according to the invention mainly consists in contacting one or more compounds of the present invention, or a composition according to the invention; this includes for example direct application, spraying, dipping, injection or any other suitable means.

The dose of active material usually applied in the treatment according to the present invention is generally and advantageously between 10 and 800 g/ha, preferably between 50 and 300 g/ha for applications in foliar treatment. The dose of active substance applied is generally and advantageously between 2 and 200 g per 100 kg of seed, preferably between 3 and 150 g per 100 kg of seed in the case of seed treatment. It is clearly understood that the doses indicated above are given as illustrative examples of the invention. A person skilled in the art will know how to adapt the application doses according to the nature of the crop to be treated.

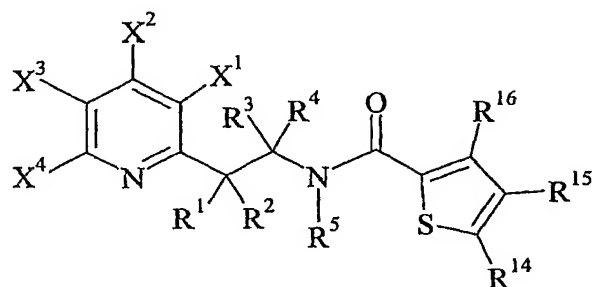
The fungicidal composition according to the present invention may also be used in the treatment of genetically modified organisms with the compounds according to the invention or the agrochemical compositions according to the invention. Genetically modified plants are plants into whose genome a heterologous gene encoding a protein of interest has been stably integrated. The expression "heterologous gene encoding a protein of interest" essentially means genes which give the transformed plant new agronomic properties, or genes for improving the agronomic quality of the transformed plant.

The compositions according to the present invention may also be used for the preparation of composition useful to curatively or preventively treat human and animal fungal diseases such as, for example, mycoses, dermatoses, trichophyton diseases and candidiases or diseases caused by *Aspergillus spp.*, for example *Aspergillus fumigatus*.

The aspects of the present invention will now be illustrated with reference to the following tables of compounds and examples. The following Tables A to C illustrate in a non-limiting manner examples of fungicidal compounds according to

the present invention. In the following Examples, M+1 (or M-1) means the molecular ion peak, plus or minus 1 a.m.u. (atomic mass units) respectively, as observed in mass spectroscopy.

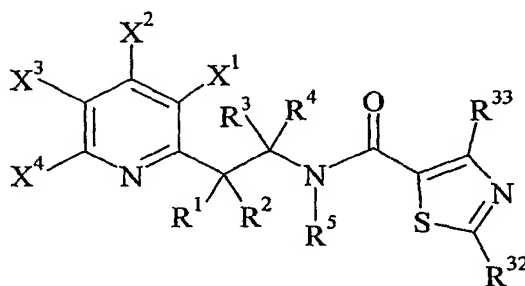
5 **Table A**



Compound	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	X <sup>1</sup>	X <sup>2</sup>	X <sup>3</sup>	X <sup>4</sup>	R <sup>14</sup>	R <sup>15</sup>	R <sup>16</sup>	M+1
A-1	H	Me	H	H	H	Cl	H	Cl	H	H	H	I	441 at 1 <sup>35</sup> Cl
A-2	H	Me	H	H	H	H	H	Cl	H	H	H	I	407 at 1 <sup>35</sup> Cl

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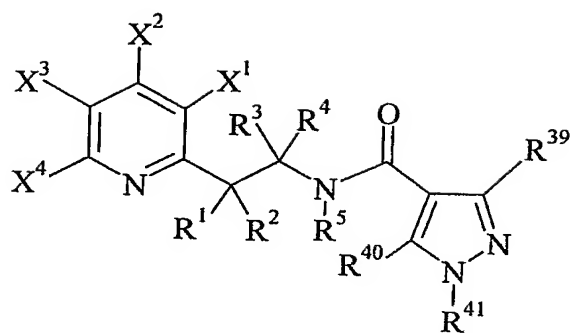
**Table B**



Compound	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	X <sup>1</sup>	X <sup>2</sup>	X <sup>3</sup>	X <sup>4</sup>	R <sup>32</sup>	R <sup>33</sup>	M+1
B-1	H	Me	H	H	H	Cl	H	Cl	H	Me	CF <sub>3</sub>	398 at 1 <sup>35</sup> Cl
B-2	H	Me	H	H	H	H	H	Cl	H	Me	CF <sub>3</sub>	364 at 1 <sup>35</sup> Cl

15



**Table C**

Compound	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	X <sup>1</sup>	X <sup>2</sup>	X <sup>3</sup>	X <sup>4</sup>	R <sup>39</sup>	R <sup>40</sup>	R <sup>41</sup>	M+1
C-1	H	Me	H	H	H	Cl	H	Cl	H	CF <sub>3</sub>	H	Me	381 at 1 <sup>35</sup> Cl
C-2	H	Me	H	H	H	H	H	Cl	H	CF <sub>3</sub>	H	Me	347 at 1 <sup>35</sup> Cl

## Examples of process for the preparation of the compound of general formula (I)

### Preparation of N-[2-(5-chloro-2-pyridinyl)propyl]-1-methyl-3-(trifluoromethyl)-1H-pyrazole-4-carboxamide

#### Preparation of *ter*-butyl 2-cyano-2-(5-chloro-2-pyridinyl)propanoate

To 150 ml of dimethoxyethane was slowly added portion-wise at 0°C, 11.6 g (0.29 mol) of sodium hydride (60% dispersion in mineral oil). To this suspension, was further added dropwise at 5°C, 21.1 g (0.149 mol) of *ter*-butyl cyanoacetate in 50 ml of dimethoxyethane. The suspension was stirred for 45 mn at room temperature. To the suspension were successively added 20 g (0.136 mol) of 2,5-dichloropyridine, 0.73 g (1.36 mmol) of (S)-(+)-1-[(R)-2-(diphenylphosphino)ferrocenyl]ethyl-*ter*-butylphosphine, and 1,56 g (2.7 mmol) of bis(dibenzylideneacetone)palladium(0). The black mixture was heated at reflux for 4 hours. After cooling at room temperature, 12.7 ml (0.2 mol) of methyl iodide were added dropwise and the mixture was further stirred at room temperature for 17 hours. The reaction mixture was poured into 100 ml of 1N chlorhydric acid and the aqueous phase was filtered on supersel and was extracted with ethyl acetate (3 x 200 ml).

The organic phase was washed with brine and dried over magnesium sulphate. The solvent was evaporated under reduced pressure to give 44.56 g of the crude product as a brown oil. The crude product was purified by flash chromatography on silica gel (eluent: heptane/ethyl acetate : 8/2) to give *ter*-butyl 2-cyano-2-(5-chloro-2-pyridinyl)propanoate: 23.44 g (64%) as a yellow oil.  
Mass spectrum : [M+1] = 267.

#### Preparation of 2-(5-chloro-2-pyridinyl)propanenitrile

To a solution of 23 g (0.086 mol) of *ter*-butyl 2-cyano-2-(5-chloro-2-pyridinyl)propanoate in 150 ml of toluene, was added 7.4 g (0.043 mol) of *p*-toluenesulfonic acid monohydrate. The mixture was stirred for 1,5 hours at 110°C. After cooling, the reaction mixture was poured into ice water and the pH was brought to 8 by addition of aqueous sodium hydrogenocarbonate.

The aqueous phase was extracted with ethyl acetate (3 x 250 ml) and the organic phase was washed with brine and dried over magnesium sulphate. The solvent

was evaporated under reduced pressure to give 15.4 g of the crude product as an orange oil. The crude product was purified by flash chromatography on silica gel (eluent: heptane/ethyl acetate: 8/2) to give 2-(5-chloro-2-pyridinyl)propanenitrile: 7.8 g (54%) as a yellow oil.

Mass spectrum :  $[M+1] = 167$ .

Preparation of *ter*-butyl 2-(5-chloro-2-pyridinyl)propylcarbamate

To a solution of 5.2 g (0.031 mol) of 2-(5-chloro-2-pyridinyl)propanenitrile in 60 ml of methanol were rapidly added 8 g (0.034 mol) of cobalt(II) chloride hexahydrate and 13.5 g (0.062 mol) of di-*ter*-butyl dicarbonate. The dark solution was cooled to  $-5^{\circ}\text{C}$  and 8.2 g (0.217 mol) of sodium borohydride was added portion-wise at  $0^{\circ}\text{C}$ . The reaction mixture was stirred at room temperature for 1 hour. The reaction mixture was neutralized by 100 ml of 1 N hydrochloric acid and methanol was removed under reduced pressure. The aqueous phase was reextracted by ethyl acetate (2 x 150 ml) and the organic phase was washed with brine and dried over magnesium sulphate.

The solvent was evaporated under reduced pressure to give 11.7 g of the crude product as a black oil. The crude product was purified by flash chromatography on silica gel (eluent: heptane/ethyl acetate: 9/1) to give *ter*-butyl 2-(5-chloro-2-pyridinyl)propyl carbamate: 4.8 g (57%) as a yellow oil.

Mass spectrum :  $[M+1] = 271$ .

Preparation of 2-(5-chloro-2-pyridinyl)propylamine hydrochloride

To a solution of 4.8 g (0.018 mol) of *ter*-butyl 2-(5-chloro-2-pyridinyl)propyl carbamate in 30 ml of diethyl ether were added 44 ml (0.089 mol) of a 2M solution of hydrogen chloride in diethyl ether. The mixture was stirred 3 hours at room temperature.

The solid was filtered and dried overnight under vacuum to give 2-(5-chloro-2-pyridinyl)propylamine hydrochloride : 3.5 g (94%) as a yellow solid.

Mass spectrum :  $[M+1] = 169$ .

Preparation of N-[2-(5-chloro-2-pyridinyl)propyl]-1-methyl-3-(trifluoromethyl)-1H-pyrazole-4-carboxamide

To a suspension of 100 mg (0.48 mmol) of 2-(5-chloro-2-pyridinyl)propylamine hydrochloride in 3 ml of dichloromethane was added successively 240  $\mu$ l (1.68 mmol) of triethylamine and 113 mg (0.58 mmol) of 1-methyl-3-(trifluoromethyl)-1H-pyrazole-4-carbonyl chloride. The mixture was stirred 4 hours at room temperature. The reaction mixture was poured into water and the pH brought to 4.

The solvent was evaporated and the residue was purified by flash chromatography on silica gel (eluent: heptane/ethyl acetate: 8/2) to give N-[2-(5-chloro-2-pyridinyl)propyl]-1-methyl-3-(trifluoromethyl)-1H-pyrazole-4-carboxamide as a yellow oil : 40 mg (24%) as a yellow oil.

Mass spectrum :  $[M+1] = 347$ .

## Examples of biological activity of the compound of general formula (I)

### Example A: *in vivo* test on *Alternaria brassicae* (Leaf spot of crucifers)

The active ingredient tested is prepared by potter homogenisation in a concentrated suspension type formulation at 100 g/l. This suspension is then diluted with water to obtain the desired active material concentration.

Radish plants (Pernot variety) in starter cups, sown on a 50/50 peat soil-pozzolana substrate and grown at 18-20°C, are treated at the cotyledon stage by spraying with the aqueous suspension described above.

Plants, used as controls, are treated with an aqueous solution not containing the active material.

After 24 hours, the plants are contaminated by spraying them with an aqueous suspension of *Alternaria brassicae* spores (40,000 spores per cm<sup>3</sup>). The spores are collected from a 12-13-day-old culture.

The contaminated radish plants are incubated for 6-7 days at about 18°C, under a humid atmosphere.

Grading is carried out 6 to 7 days after the contamination, in comparison with the control plants.

Under these conditions, good (at least 50%) or total protection is observed at a dose of 330ppm with the following compounds: A1.

### Example B: *in vivo* test on *Pyrenophora teres* (Barley Net blotch).

The active ingredient tested is prepared by potter homogenisation in a concentrated suspension type formulation at 100 g/l. This suspension is then diluted with water to obtain the desired active material concentration.

Barley plants (Express variety) in starter cups, sown on a 50/50 peat soil-pozzolana substrate and grown at 12°C, are treated at the 1-leaf stage (10 cm tall) by spraying with the aqueous suspension described above. Plants, used as controls, are treated with an aqueous solution not containing the active material.

After 24 hours, the plants are contaminated by spraying them with an aqueous suspension of *Pyrenophora teres* spores (12,000 spores per ml). The spores are collected from a 12-day-old culture. The contaminated barley plants are incubated for 24 hours at about 20°C and at 100% relative humidity, and then for 12 days at 80% relative humidity.

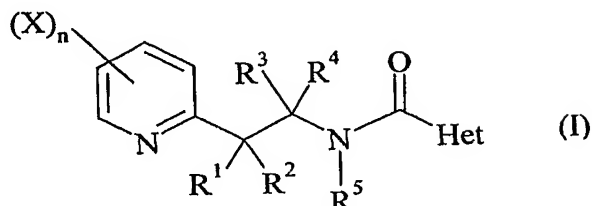
Grading is carried out 12 days after the contamination, in comparison with the control plants.

Under these conditions, good (at least 50%) or total protection is observed at a dose of 330 ppm with the following compounds: A1, B1, C1 and C2.



CLAIMS

1. A compound of general formula (I) :



in which :

- n is 1, 2, 3 or 4;
- X is the same or different and is a hydrogen atom, a halogen atom, a nitro group, a cyano group, a hydroxy group, an amino group, a sulfanyl group, a pentafluoro- $\lambda^6$ -sulfanyl group, a formyl group, a formyloxy group, a formylamino group, a carboxy group, a carbamoyl group, a N-hydroxycarbamoyl group, a carbamate group, a (hydroxyimino)-C<sub>1</sub>-C<sub>6</sub>-alkyl group, a C<sub>1</sub>-C<sub>8</sub>-alkyl, a C<sub>2</sub>-C<sub>8</sub>-alkenyl, a C<sub>2</sub>-C<sub>8</sub>-alkynyl, a C<sub>1</sub>-C<sub>8</sub>-alkylamino, a di-C<sub>1</sub>-C<sub>8</sub>-alkylamino, a C<sub>1</sub>-C<sub>8</sub>-alkoxy, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkoxy having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylsulfanyl, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylsulfanyl having 1 to 5 halogen atoms, a C<sub>2</sub>-C<sub>8</sub>-alkenyloxy, a C<sub>2</sub>-C<sub>8</sub>-halogenoalkenyloxy having 1 to 5 halogen atoms, a C<sub>3</sub>-C<sub>8</sub>-alkynyloxy, a C<sub>3</sub>-C<sub>8</sub>-halogenoalkynyloxy having 1 to 5 halogen atoms, a C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, a C<sub>3</sub>-C<sub>8</sub>-halogenocycloalkyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylcarbonyl, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylcarbonyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylcarbamoyl, a di-C<sub>1</sub>-C<sub>8</sub>-alkylcarbamoyl, a N-C<sub>1</sub>-C<sub>8</sub>-alkyloxycarbamoyl, a C<sub>1</sub>-C<sub>8</sub>-alkoxycarbamoyl, a N-C<sub>1</sub>-C<sub>8</sub>-alkyl-C<sub>1</sub>-C<sub>8</sub>-alkoxycarbamoyl, a C<sub>1</sub>-C<sub>8</sub>-alkoxycarbonyl, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkoxycarbonyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylcarbonyloxy, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylcarbonylamino, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylcarbonylamino having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylaminocarbonyloxy, a di-C<sub>1</sub>-C<sub>8</sub>-alkylaminocarbonyloxy, a C<sub>1</sub>-C<sub>8</sub>-alkyloxycarbonyloxy, a C<sub>1</sub>-C<sub>8</sub>-alkylsulphenyl, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylsulphenyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylsulphinyl, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylsulphinyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>8</sub>-alkylsulphonyl, a C<sub>1</sub>-C<sub>8</sub>-halogenoalkylsulphonyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>6</sub>-alkoxyimino, a (C<sub>1</sub>-C<sub>6</sub>-alkoxyimino)-C<sub>1</sub>-C<sub>6</sub>-alkyl, a (C<sub>1</sub>-C<sub>6</sub>-alkenyloxyimino)-C<sub>1</sub>-C<sub>6</sub>-alkyl, a (C<sub>1</sub>-C<sub>6</sub>-alkynyloxyimino)-C<sub>1</sub>-C<sub>6</sub>-alkyl, a (benzyloxyimino)-C<sub>1</sub>-C<sub>6</sub>-alkyl, a benzyloxy, a benzylsulfanyl, a benzylamino, a phenoxy, a phenylsulfanyl or a phenylamino;



-  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are the same or different and are a hydrogen atom, a halogen atom, a cyano group, a hydroxy group, an amino group, a sulfanyl group, a formyl group, a formyloxy group, a formylamino group, a carboxy group, a carbamoyl group, a N-hydroxycarbamoyl group, a carbamate group, a (hydroxyimino)- $C_1$ - $C_6$ -alkyl group, a  $C_1$ - $C_8$ -alkyl, a  $C_2$ - $C_8$ -alkenyl, a  $C_2$ - $C_8$ -alkynyl, a  $C_1$ - $C_8$ -alkylamino, a di- $C_1$ - $C_8$ -alkylamino, a  $C_1$ - $C_8$ -alkoxy, a  $C_1$ - $C_8$ -halogenoalkoxy having 1 to 5 halogen atoms, a  $C_1$ - $C_8$ -alkylsulfanyl, a  $C_1$ - $C_8$ -halogenoalkylsulfanyl having 1 to 5 halogen atoms, a  $C_2$ - $C_8$ -alkenyloxy, a  $C_2$ - $C_8$ -halogenoalkenyloxy having 1 to 5 halogen atoms, a  $C_3$ - $C_8$ -alkynyloxy, a  $C_3$ - $C_8$ -halogenoalkynyloxy having 1 to 5 halogen atoms, a  $C_3$ - $C_8$ -cycloalkyl, a  $C_3$ - $C_8$ -halogenocycloalkyl having 1 to 5 halogen atoms, a  $C_1$ - $C_8$ -alkylcarbonyl, a  $C_1$ - $C_8$ -halogenoalkylcarbonyl having 1 to 5 halogen atoms, a  $C_1$ - $C_8$ -alkylcarbamoyl, a di- $C_1$ - $C_8$ -alkylcarbamoyl, a N- $C_1$ - $C_8$ -alkyloxycarbamoyl, a  $C_1$ - $C_8$ -alkoxycarbamoyl, a N- $C_1$ - $C_8$ -alkyl- $C_1$ - $C_8$ -alkoxycarbamoyl, a  $C_1$ - $C_8$ -alkoxycarbonyl, a  $C_1$ - $C_8$ -halogenoalkoxycarbonyl having 1 to 5 halogen atoms, a  $C_1$ - $C_8$ -alkylcarbonyloxy, a  $C_1$ - $C_8$ -halogenoalkylcarbonyloxy having 1 to 5 halogen atoms, a  $C_1$ - $C_8$ -alkylcarbonylamino, a  $C_1$ - $C_8$ -halogenoalkylcarbonylamino having 1 to 5 halogen atoms, a  $C_1$ - $C_8$ -alkylaminocarbonyloxy, a di- $C_1$ - $C_8$ -alkylaminocarbonyloxy, a  $C_1$ - $C_8$ -alkyloxycarbonyloxy, a  $C_1$ - $C_8$ -alkylsulphenyl, a  $C_1$ - $C_8$ -halogenoalkylsulphenyl having 1 to 5 halogen atoms, a  $C_1$ - $C_8$ -alkylsulphinyl, a  $C_1$ - $C_8$ -halogenoalkylsulphinyl having 1 to 5 halogen atoms, a  $C_1$ - $C_8$ -alkylsulphonyl, a  $C_1$ - $C_8$ -halogenoalkylsulphonyl having 1 to 5 halogen atoms, a benzyloxy, a benzylsulfanyl, a benzylamino, a phenoxy, a phenylsulfanyl or a phenylamino, a phenyl group, a phenyl sulphonyl group;

with the proviso that when three of the four substituents  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are a hydrogen atom, then the fourth substituent is not a hydrogen atom;

-  $R^5$  is a hydrogen atom, a cyano group, a formyl group, a hydroxy group, a  $C_1$ - $C_6$ -alkyl, a  $C_1$ - $C_6$ -halogenoalkyl having 1 to 5 halogen atoms, a  $C_1$ - $C_6$ -alkoxy, a  $C_1$ - $C_6$ -halogenoalkoxy having 1 to 5 halogen atoms, a  $C_3$ - $C_6$ -cycloalkyl, a  $C_3$ - $C_6$ -halogenocycloalkyl having 1 to 5 halogen atoms, a  $C_2$ - $C_6$ -alkenyl, a  $C_2$ - $C_6$ -alkynyl, a  $C_1$ - $C_6$ -alkoxy- $C_1$ - $C_6$ -alkyl, a  $C_1$ - $C_6$ -cyanoalkyl, a  $C_1$ - $C_6$ -aminoalkyl, a  $C_1$ - $C_6$ -alkylamino- $C_1$ - $C_6$ -alkyl, a di- $C_1$ - $C_6$ -alkylamino- $C_1$ - $C_6$ -alkyl, a  $C_1$ - $C_6$ -alkylcarbonyl, a  $C_1$ - $C_6$ -halogenoalkylcarbonyl having 1 to 5 halogen atoms, a  $C_1$ - $C_6$ -alkyloxycarbonyl, a  $C_1$ - $C_6$ -benzyloxycarbonyl, a  $C_1$ - $C_6$ -alkoxy- $C_1$ - $C_6$ -alkylcarbonyl, a  $C_1$ - $C_6$ -alkylsulfonyl or a  $C_1$ - $C_6$ -halogenoalkylsulfonyl having 1 to 5 halogen atoms;

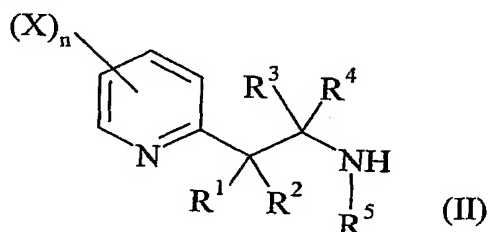
- Het represents 5-, 6- or 7-membered heterocycle with one, two or three heteroatoms which may be the same or different; Het being linked by a carbon atom and being at least substituted in ortho position;

as well as its salts, N-oxydes, metallic and metalloidic complexes.

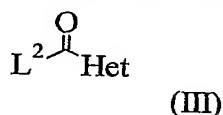
2. A compound according to claim 1, characterised in that n is 1, 2 or 3.
3. A compound according to claim 1 or 2, characterised in that at least one of the X substituent is a halogen atom, a C<sub>1</sub>-C<sub>8</sub>-alkyl, a C<sub>1</sub>-C<sub>6</sub>-alkoxyimino, a (C<sub>1</sub>-C<sub>6</sub>-alkoxyimino)-C<sub>1</sub>-C<sub>6</sub>-alkyl, or a C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl.
4. A compound according to any of the claims 1 to 3, characterised in that the 2-pyridyl is substituted in 3-, 5- and/or in 6-position.
5. A compound according to any of the claims 1 to 4, characterised in that R<sup>1</sup> and R<sup>2</sup> are chosen, independently of each other, as being a hydrogen atom, a halogen atom, a cyano group, a hydroxy group, a C<sub>1</sub>-C<sub>6</sub>-alkyl, a C<sub>1</sub>-C<sub>6</sub>-halogenoalkyl having 1 to 5 halogen atoms, a C<sub>2</sub>-C<sub>6</sub>-alkenyl, a C<sub>1</sub>-C<sub>6</sub>-alkoxy, a C<sub>1</sub>-C<sub>6</sub>-alkylsulfanyl, a C<sub>1</sub>-C<sub>6</sub>-alkylsulfenyl, a C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, a C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, a C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, a C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyloxy, a C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonylamino or a phenyl group.
6. A compound according to claim 5, characterised in that R<sup>1</sup> and R<sup>2</sup> are chosen, independently of each other, as being a halogen atom, a C<sub>1</sub>-C<sub>6</sub>-alkyl, a C<sub>1</sub>-C<sub>6</sub>-halogenoalkyl having 1 to 5 halogen atoms or a C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino.
7. A compound according to any of the claims 1 to 6, characterised in that R<sup>3</sup> and R<sup>4</sup> are chosen, independently of each other, as being a hydrogen atom, a halogen atom, a cyano group, a C<sub>1</sub>-C<sub>6</sub>-alkyl, a C<sub>1</sub>-C<sub>6</sub>-halogenoalkyl having 1 to 5 halogen atoms, a C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino or a phenyl group.
8. A compound according to claim 7, characterised in that R<sup>3</sup> and R<sup>4</sup> are chosen, independently of each other, as being a halogen atom, a C<sub>1</sub>-C<sub>6</sub>-alkyl, a C<sub>1</sub>-C<sub>6</sub>-halogenoalkyl having 1 to 5 halogen atoms or a phenyl group.
9. A compound according to any of the claims 1 to 8, characterised in that R<sup>5</sup> is a hydrogen atom or a C<sub>3</sub>-C<sub>7</sub>-cycloalkyl.
10. A compound according to any of the claims 1 to 9, characterised in that Het is a five membered ring heterocycle.

11. A compound according to any of the claims 1 to 9, characterised in that Het is a six membered ring heterocycle.

12. A process for the preparation of a compound of general formula (I) as defined in any of the claims 1 to 11, which comprises reacting a 2-pyridine derivative of general formula (II) or one of its salt :



in which X, n, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are as in any of the preceding claims;  
with a carboxylic acid derivative of the general formula (III)

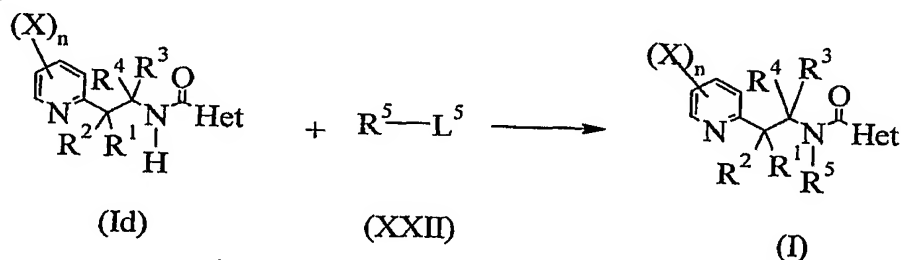


in which :

- Het is as defined in any of the preceding claims ; and
- L<sup>2</sup> is a leaving group chosen as being a halogen atom, a hydroxyl group, -OR<sup>6</sup>, -OCOR<sup>6</sup>, R<sup>6</sup> being a C<sub>1</sub>-C<sub>6</sub> alkyl, a C<sub>1</sub>-C<sub>6</sub> haloalkyl, a benzyl, 4-methoxybenzyl, pentafluorophenyl or a group of formula  $\text{O}=\text{C}-\text{Het}$  ;

in the presence of a catalyst and, if L<sup>2</sup> is a hydroxyl group, in the presence of a condensing agent.

13. A process according to claim 12, characterised in that R<sup>5</sup> is a hydrogen atom and that the process is completed by a further step according to the following reaction scheme :



in which : - R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, X, n and Het are as defined in any of the claims 1 to 15;

- L<sup>5</sup> is a leaving group chosen as being a halogen atom, a 4-methyl phenylsulfonyloxy or a methylsulfonyloxy;

comprising the reaction of a compound of general formula (Id) with a compound of general formula (XXII) to provide a compound of general formula (I).

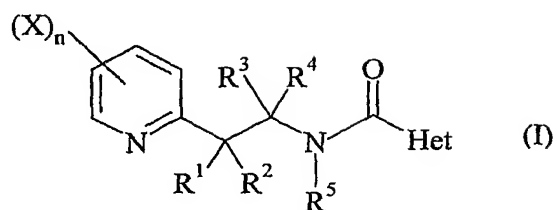
14. A fungicidal composition comprising an effective amount of a compound according to any of the claims 1 to 11 and an agriculturally acceptable support.

15. A method for preventively or curatively combating the phytopathogenic fungi of crops, characterised in that an effective and non-phytotoxic amount of a composition according to claim 14 is applied to the plant seeds or to the plant leaves and/or to the fruits of the plants or to the soil in which the plants are growing or in which it is desired to grow them.



## ABSTRACT

A compound of general formula (I)



A process for preparing this compound.

A fungicidal composition comprising a compound of general formula (I).

A method for treating plants by applying a compound of general formula (I) or a composition comprising it.

